10556229.trn

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

| | | |
|---|---|---|
| NEWS 1 | | Web Page URLs for STN Seminar Schedule - N. America |
| NEWS 2 | | "Ask CAS" for self-help around the clock |
| NEWS 3 | OCT 23 | The Derwent World Patents Index suite of databases on STN has been enhanced and reloaded |
| NEWS 4 | OCT 30 | CHEMLIST enhanced with new search and display field |
| NEWS 5 | NOV 03 | JAPIO enhanced with IPC 8 features and functionality |
| NEWS 6 | NOV 10 | CA/CAplus F-Term thesaurus enhanced |
| NEWS 7 | NOV 10 | STN Express with Discover! free maintenance release Version 8.01c now available |
| NEWS 8 | NOV 20 | CAS Registry Number crossover limit increased to 300,000 in additional databases |
| NEWS 9 | NOV 20 | CA/CAplus to MARPAT accession number crossover limit increased to 50,000 |
| NEWS 10 | DEC 01 | CAS REGISTRY updated with new ambiguity codes |
| NEWS 11 | DEC 11 | CAS REGISTRY chemical nomenclature enhanced |
| NEWS 12 | DEC 14 | WPIDS/WPINDEX/WPIX manual codes updated |
| NEWS 13 | DEC 14 | GBFULL and FRFULL enhanced with IPC 8 features and functionality |
| NEWS 14 | DEC 18 | CA/CAplus pre-1967 chemical substance index entries enhanced with preparation role |
| NEWS 15 | DEC 18 | CA/CAplus patent kind codes updated |
| NEWS 16 | DEC 18 | MARPAT to CA/CAplus accession number crossover limit increased to 50,000 |
| NEWS 17 | DEC 18 | MEDLINE updated in preparation for 2007 reload |
| NEWS 18 | DEC 27 | CA/CAplus enhanced with more pre-1907 records |
| NEWS 19 | JAN 08 | CHEMLIST enhanced with New Zealand Inventory of Chemicals |
| NEWS 20 | JAN 16 | CA/CAplus Company Name Thesaurus enhanced and reloaded |
| NEWS 21 | JAN 16 | IPC version 2007.01 thesaurus available on STN |
| NEWS 22 | JAN 16 | WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data |

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

| | |
|---|---|
| NEWS HOURS | STN Operating Hours Plus Help Desk Availability |
| NEWS LOGIN | Welcome Banner and News Items |
| NEWS IPC8 | For general information regarding STN implementation of IPC 8 |
| NEWS X25 | X.25 communication option no longer available |

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 13:39:23 ON 17 JAN 2007

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 13:39:35 ON 17 JAN 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 16 JAN 2007 HIGHEST RN 917560-96-4
DICTIONARY FILE UPDATES: 16 JAN 2007 HIGHEST RN 917560-96-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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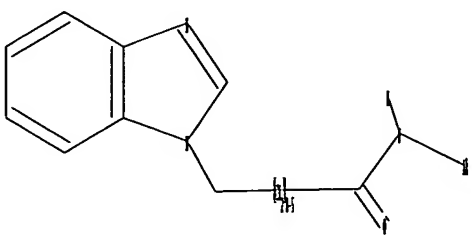
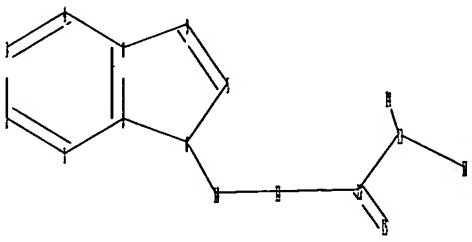
Uploading C:\Program Files\Stnexp\Queries\10556229.str



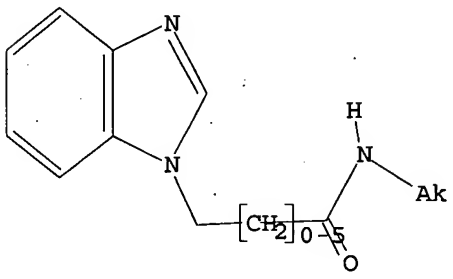


chain nodes :
10 11 12 13 14 15 18
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
6-10 10-11 11-12 12-13 12-15 13-14 13-18
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :
5-6 5-9 6-7 6-10 8-9 12-13 12-15 13-18
exact bonds :
10-11 11-12 13-14
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 18:CLASS

L1 STRUCTURE UPLOADED

=> D L1
L1 HAS NO ANSWERS
L1 STR




Structure attributes must be viewed using STN Express query preparation.

=> S L1
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SAMPLE SCREEN SEARCH COMPLETED - 1117 TO ITERATE

100.0% PROCESSED 1117 ITERATIONS 47 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 20335 TO 24345
PROJECTED ANSWERS: 529 TO 1351

L2 47 SEA SSS SAM L1

=> S L1 SSS FULL
FULL SEARCH INITIATED 13:40:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 22839 TO ITERATE

100.0% PROCESSED 22839 ITERATIONS 947 ANSWERS
SEARCH TIME: 00.00.03

L3 947 SEA SSS FUL L1

=> FIL HCAPLUS
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 172.10 172.31

FILE 'HCAPLUS' ENTERED AT 13:40:18 ON 17 JAN 2007
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


FILE COVERS 1907 - 17 Jan 2007 VOL 146 ISS 4
FILE LAST UPDATED: 16 Jan 2007 (20070116/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> S L3
L4 102 L3

=> S L4 AND THU
158 THU
2376538 THUS
2376679 THU
(THU OR THUS)
L5 39 L4 AND THU

=> S L5 AND VRI

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L6            0 L5 AND VRI

=> S L5 AND VR1
           1416 VR1
L7            0 L5 AND VR1

=> S L5 AND PY,=2003
'2003' NOT A VALID FIELD CODE
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L8            0 L5 AND PY,=2003

=> S L5 AND PY<=2003
      23914968 PY<=2003
L9           32 L5 AND PY<=2003

=> D L9 IBIB AGS HITSTR 1-15
'AGS' IS NOT A VALID FORMAT FOR FILE 'HCAPLUS'

The following are valid formats:

ABS --------- GI and AB
ALL --------- BIB, AB, IND, RE
APPS -------- AI, PRAI
BIB --------- AN, plus Bibliographic Data and PI table (default)
CAN --------- List of CA abstract numbers without answer numbers
CBIB -------- AN, plus Compressed Bibliographic Data
CLASS ------- IPC, NCL, ECLA, FTERM
DALL -------- ALL, delimited (end of each field identified)
DMAX -------- MAX, delimited for post-processing
FAM --------- AN, PI and PRAI in table, plus Patent Family data
FBIB -------- AN, BIB, plus Patent FAM
IND --------- Indexing data
IPC --------- International Patent Classifications
MAX --------- ALL, plus Patent FAM, RE
PATS -------- PI, SO
SAM --------- CC, SX, TI, ST, IT
SCAN -------- CC, SX, TI, ST, IT (random display, no answer numbers;
              SCAN must be entered on the same line as the DISPLAY,
              e.g., D SCAN or DISPLAY SCAN)
STD --------- BIB, CLASS

IABS -------- ABS, indented with text labels
IALL -------- ALL, indented with text labels
IBIB -------- BIB, indented with text labels
IMAX -------- MAX, indented with text labels
ISTD -------- STD, indented with text labels

OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

HIT --------- Fields containing hit terms
HITIND ------ IC, ICA, ICI, NCL, CC and index field (ST and IT)
              containing hit terms
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HITRN ------- HIT RN and its text modification
HITSTR ------ HIT RN, its text modification, its CA index name, and
              its structure diagram
HITSEQ ------ HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
              its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
KWIC -------- Hit term plus 20 words on either side
OCC --------- Number of occurrence of hit term and field in which it occurs

To display a particular field or fields, enter the display field
codes.  For a list of the display field codes, enter HELP DFIELDS at
an arrow prompt (=>).  Examples of formats include: TI; TI,AU; BIB,ST;
TI,IND; TI,SO.  You may specify the format fields in any order and the
information will be displayed in the same order as the format
specification.

All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR,
FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC
to view a specified Accession Number.
ENTER DISPLAY FORMAT (BIB):END

=> D HIS

     (FILE 'HOME' ENTERED AT 13:39:23 ON 17 JAN 2007)

     FILE 'REGISTRY' ENTERED AT 13:39:35 ON 17 JAN 2007
L1             STRUCTURE UPLOADED
L2              47 S L1
L3             947 S L1 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 13:40:18 ON 17 JAN 2007
L4             102 S L3
L5              39 S L4 AND THU
L6               0 S L5 AND VRI
L7               0 S L5 AND VR1
L8               0 S L5 AND PY,=2003
L9              32 S L5 AND PY<=2003

=> D L9 IBIB ABS HITSTR 1-15

L9   ANSWER 1 OF 32  HCAPLUS  COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:         2003:633705  HCAPLUS
DOCUMENT NUMBER:          139:180070
TITLE:                    Preparation of 2-(4-amino-1,2,5-oxadiazol-3-
                          yl)benzimidazoles as inhibitors of GSK-3
INVENTOR(S):              Harbeson, Scott L.; Arnost, Michael J.; Green, Jeremy;
                          Savic, Vladimir
PATENT ASSIGNEE(S):       Vertex Pharmaceuticals Incorporated, USA
SOURCE:                   PCT Int. Appl., 93 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.        DATE
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| WO 2003066629 | A2 | 20030814 | WO 2003-US3655 | | 20030206 <-- |
| WO 2003066629 | A3 | 20031030 | | | |
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| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | | |
| CA 2475633 | A1 | 20030814 | CA 2003-2475633 | | 20030206 <-- |
| AU 2003215087 | A1 | 20030902 | AU 2003-215087 | | 20030206 <-- |
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| EP 1472245 | A2 | 20041103 | EP 2003-710903 | | 20030206 |
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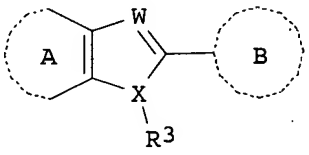
| JP 2005526028 | T | 20050902 | JP 2003-566002 | | 20030206 |
| NO 2004003726 | A | 20041108 | NO 2004-3726 | | 20040906 |
| PRIORITY APPLN. INFO.: | | | US 2002-354843P | P | 20020206 |
| | | | WO 2003-US3655 | W | 20030206 |

OTHER SOURCE(S): MARPAT 139:180070

GI

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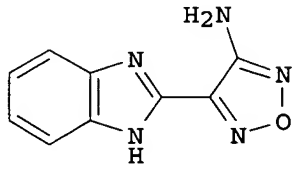


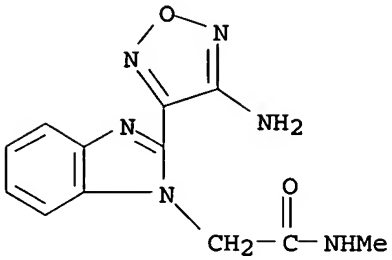

II

AB The title compds. [I; ring A = (un)substituted 5-7 membered (un)saturated ring having 0-3 heteroatoms, and wherein ring A is optionally fused to 5-8 membered ring having 0-3 heteroatoms; ring B = (un)substituted 5-6 membered ring having 0-4 heteroatoms; W = N, CR4; X = N, CH (wherein at least one of W and X = N); R3 = TCN, LR; T = a bond, alkylidene; L = a bond, alkylidene wherein up to two methylene units of L are replaced by O, S, CO, etc.; R4 = LR, halo, TNO2, TCN; R = H, alkyl, aryl, etc.], useful as inhibitors of GSK-3 and Lck protein kinases (biol. data given) for treating and preventing various disorders, such as diabetes, Alzheimer's disease, and transplant rejection, were prepared Thus, reacting 1,2-phenylenediamine with Me 4-aminofurazan-3-carboximidate in the presence of AcOH in MeOH afforded 76% II. A pharmaceutical composition comprising the title compound I, was claimed.

IT 581081-66-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 2-(4-amino-1,2,5-oxadiazol-3-yl)benzimidazoles as inhibitors of GSK-3)

RN 581081-66-5 HCAPLUS

CN 1H-Benzimidazole-1-acetamide, 2-(4-amino-1,2,5-oxadiazol-3-yl)-N-methyl- (9CI) (CA INDEX NAME)



IT 384860-19-9P 581081-53-0P 581081-61-0P
581081-68-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-(4-amino-1,2,5-oxadiazol-3-yl)benzimidazoles as inhibitors of GSK-3)

RN 384860-19-9 HCAPLUS
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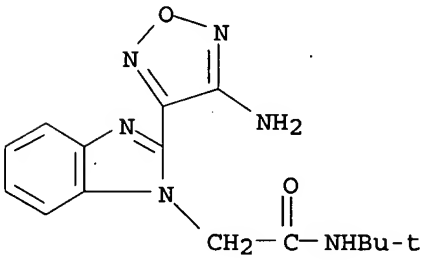

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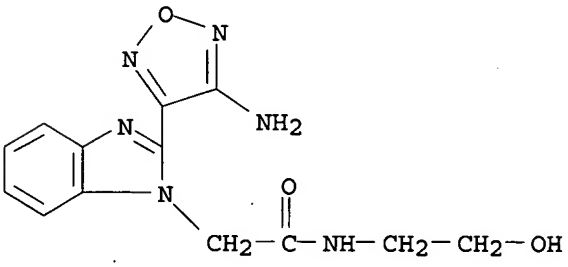

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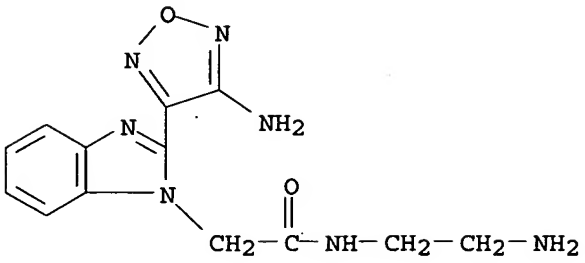

RN 581081-68-7 HCAPLUS
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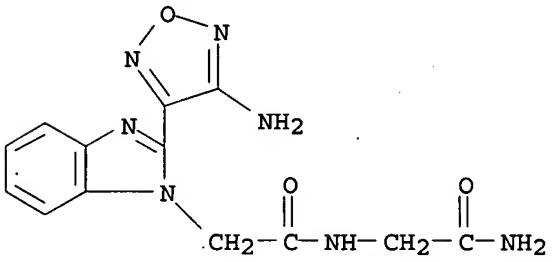

L9 ANSWER 2 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:185081 HCAPLUS
DOCUMENT NUMBER: 136:247498
TITLE: Acylaminoalkylpiperidines as chemokine and H1 receptor antagonists
INVENTOR(S): Sanganee, Hitesh; Springthorpe, Brian
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002020484 | A1 | 20020314 | WO 2001-SE1869 | 20010830 <-- |
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| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
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| JP 2004508355 | T | 20040318 | JP 2002-525106 | 20010830 |

US 2004102432 A1 20040527 US 2003-344758 20030213
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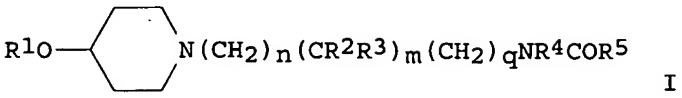
GI



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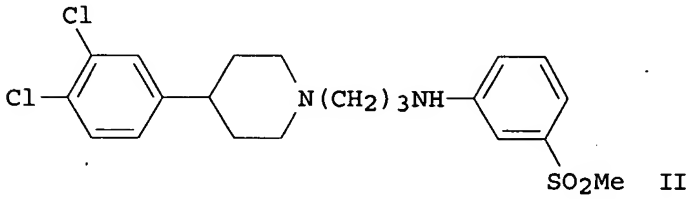

II

AB Title compds. I [R1 = (un)substituted Ph; n = 1-4; m = 0, 1; when m = 0, q = 0; when m = 1, q = 1-4; R2, R3 = H, alkyl, R4 = H, alkyl, cycloalkylalkyl, R5 = substituted cyclic, heterocyclic; R2 = (un)substituted Ph, R3 = H, alkyl, R4 = H, alkyl, alkoxy, R5 = substituted cyclic, heterocyclic] were prepared for use as chemokine and H1 receptor antagonists in the treatment of asthma and rhinitis (no data). Thus, 3,4-Cl2C6H3OH was treated with 1-tert.-butoxycarbonyl-4-piperidinol, deblocked, treated with Br(CH2)3NHBoc, and deblocked to give the piperidine II as its hydrochloride.

IT 404030-44-0P 404030-45-1P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acylaminoalkylpiperidines as chemokine and H1 receptor antagonists)

RN 404030-44-0 HCAPLUS
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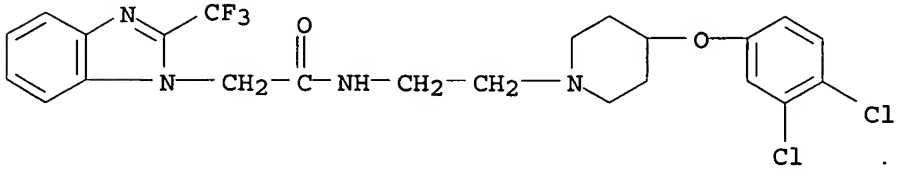

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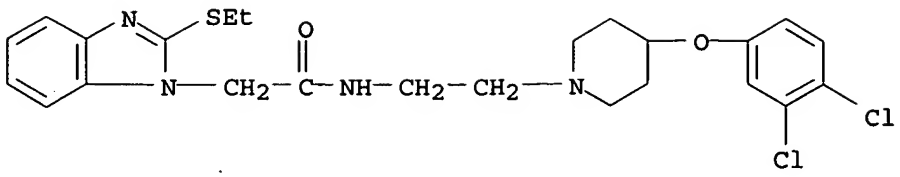
CN 1H-Benzimidazole-1-acetamide, N-[2-[4-(3,4-dichlorophenoxy)-1-piperidinyl]ethyl]-2-(ethylthio)- (9CI) (CA INDEX NAME)

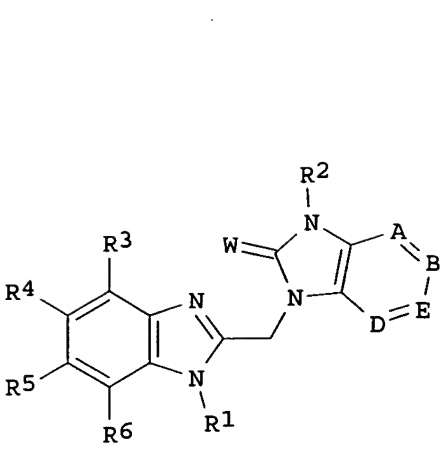


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:923615 HCAPLUS
DOCUMENT NUMBER: 136:37623
TITLE: Preparation of imidazopyridine and imidazopyrimidine antiviral agents
INVENTOR(S): Yu, Kuo-Long; Civiello, Rita L.; Combrink, Keith D.; Gulgeze, Hatice Belgin; Sin, Ny; Wang, Xiangdong; Meanwell, Nicholas A.; Venables, Brian Lee
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 196 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE | |
|---|---|---|---|---|---|---|
| WO 2001095910 | A1 | 20011220 | WO 2001-US14775 | | 20010508 | <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW | | | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | | | |
| US 2002016309 | A1 | 20020207 | US 2001-840279 | | 20010423 | <-- |
| US 6489338 | B2 | 20021203 | | | | |
| CA 2412327 | A1 | 20011220 | CA 2001-2412327 | | 20010508 | <-- |
| BR 2001011569 | A | 20030429 | BR 2001-11569 | | 20010508 | <-- |
| EP 1311268 | A1 | 20030521 | EP 2001-952114 | | 20010508 | <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | | | |
| JP 2004503501 | T | 20040205 | JP 2002-510088 | | 20010508 | |
| HU 200400766 | A2 | 20040728 | HU 2004-766 | | 20010508 | |
| NZ 523566 | A | 20040827 | NZ 2001-523566 | | 20010508 | |
| IN 2002MN01766 | A | 20050204 | IN 2002-MN1766 | | 20021210 | |
| NO 2002005977 | A | 20030129 | NO 2002-5977 | | 20021212 | <-- |
| ZA 2002010104 | A | 20040312 | ZA 2002-10104 | | 20021212 | |
| PRIORITY APPLN. INFO.: | | | US 2000-211447P | P | 20000613 | |
| | | | US 2001-263363P | P | 20010122 | |
| | | | WO 2001-US14775 | W | 20010508 | |

OTHER SOURCE(S): MARPAT 136:37623
GI



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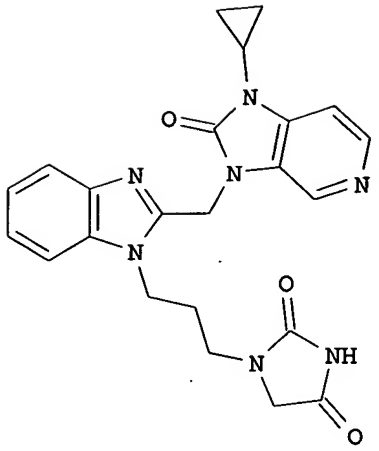


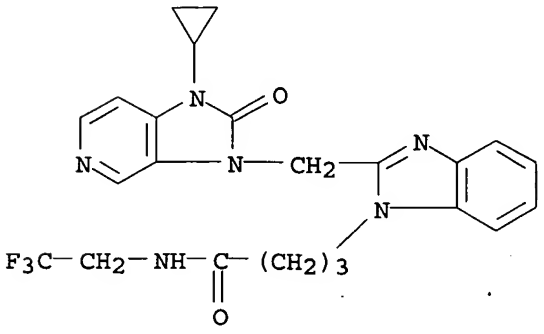
II

AB The title compds. [I; W = O, S; R1 = (CR'R'')nX; X = H, alkyl, cycloalkyl, etc.; n = 2-6; R2 = H, alkyl, cycloalkyl, etc.; R3-R6 = H, halo, alkyl, etc.; A, B, E, D = CH, CQ, N, NO; provided at least one of A, B, E or D is not CH or CQ; Q = halo, alkyl, alkyl substituted with 1-3 halogen atoms; R', R'' = H, alkyl, cycloalkyl, etc.], useful in the treatment of viral infections, more particularly, for the treatment of respiratory syncytial virus infection, were prepared Thus, reacting I [W = O; R1 = (CH2)3NH2; R2 = cyclopropyl; R3-R6 = H; E = N; A, B, D = CH] (preparation given) with N-chloroacetylurethane in the presence of Na2CO3 in MeCN afforded 39% II.TFA. The compds. I showed antiviral activity against RSV with EC50's between 50 μM and 0.001 μM vs. Ribavirin with an EC50 of 3 μM.

IT 380603-71-4P 380603-73-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazopyridine and imidazopyrimidine antiviral agents)

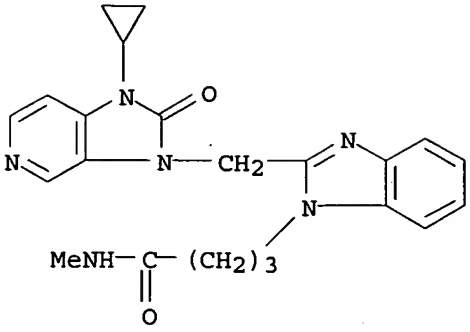
RN 380603-71-4 HCAPLUS

CN 1H-Benzimidazole-1-butanamide, 2-[(1-cyclopropyl-1,2-dihydro-2-oxo-3H-imidazo[4,5-c]pyridin-3-yl)methyl]-N-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)



RN 380603-73-6 HCAPLUS

CN 1H-Benzimidazole-1-butanamide, 2-[(1-cyclopropyl-1,2-dihydro-2-oxo-3H-imidazo[4,5-c]pyridin-3-yl)methyl]-N-methyl- (9CI) (CA INDEX NAME)

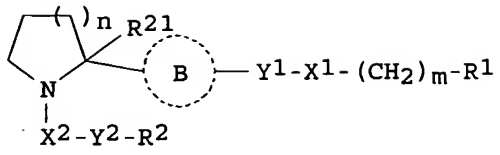


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

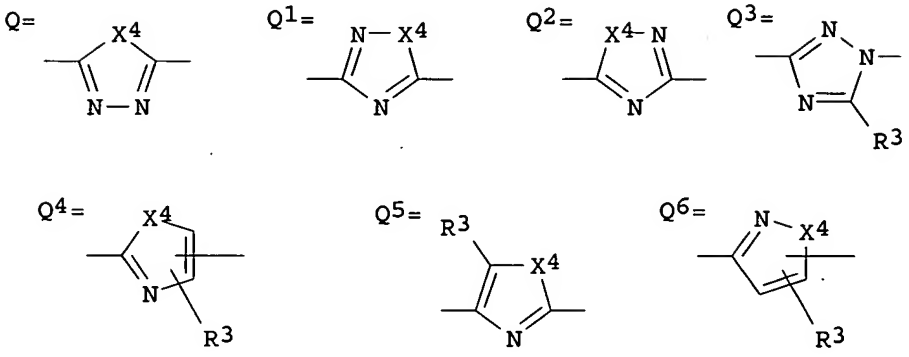
L9 ANSWER 4 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:668212 HCAPLUS
DOCUMENT NUMBER: 135:226999
TITLE: Preparation of 2-azolylpyrrolidine or -piperidine derivatives having neurite outgrowth activity
INVENTOR(S): Kato, Susumu; Ueno, Hiroshi; Kondo, Wataru
PATENT ASSIGNEE(S): Japan Tobacco, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 81 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE | |
|---|---|---|---|---|---|---|
| JP 2001247569 | A | 20010911 | JP 2000-236882 | | 20000804 | <-- |
| PRIORITY APPLN. INFO.: | | | JP 1999-228938 | A | 19990812 | |
| | | | JP 1999-375867 | A | 19991228 | |

OTHER SOURCE(S): MARPAT 135:226999
GI



I

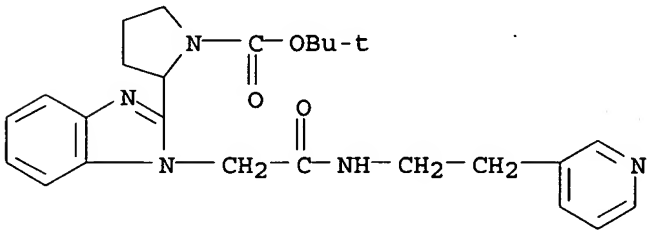


AB The title compds. [I; R1 = H, (un)substituted C3-10 cycloalkyl, C6-12 aryl, or 5- to 6-membered heterocyclyl containing 1-3 heteroatoms selected from O, S, and N; R2 = C1-6 alkyl, C3-10 cycloalkyl, C6-12 aryl, or 5- to 6-membered heterocyclyl containing 1-3 heteroatoms selected from O, S, and N; R21 = H, C1-6 alkyl; X1 = single bond, O, S, SO, SO2, CH:CH, CO, CO2, NR10, CONR10, NR10CO, NR11CONR10, NR10SO2, SO2NR10, CR10R11 [wherein R10 = H, (CH2)nR12 (wherein n = 1-4; R12 = C3-10 cycloalkyl, C6-12 aryl, or 5- to 6-membered heterocyclyl containing 1-3 heteroatoms selected from O, S, and N); R11 = H, C1-6 alkyl]; Y1 = arylene, heteroarylene, (CH2)p (wherein p = 0, 1-4); X2 = SO2, COCO, CO2, CO, C(S), CONR14, C(S)NR14 (wherein R14 = H, C1-6 alkyl); Y = (CH2)r (wherein r = 0, 1-3), CH:CH; m = 0, 1-4; ring B = Q - Q6 [wherein R3 = H, C1-6 alkyl; X4 = O, S, NR4 (wherein R4 = H, C1-6 alkyl)], (un)substituted condensed heterocyclyl], salts thereof, or their hydrates or prodrugs are prepared These compds. are superior in serum stability and can be administered orally and are useful for the treatment and/or prevention of diseases accompanied by nerve injury or neurodegeneration, e.g. diabetic nerve disorders, neuropathy, nerve cutting, amyotrophic lateral sclerosis (ALC), multiple sclerosis, Alzheimer's diseases, Parkinson's diseases, Huntington chorea, and spinal code injury. Thus, 464 mg 7-chloronaphth-2-ylsulfonyl chloride was added to a solution of 507 mg 5-(5-benzyloxycarbonylaminomethyl-1,3,4-thiadiazol-2-yl)pyrrolidine (preparation given) in pyridine and stirred at room temperature for 3 h to give 706 mg 1-(7-chloronaphthalen-2-ylsulfonyl)-2-(5-benzyloxycarbonylaminomethyl-1,3,4-thiadiazol-2-yl)pyrrolidine which (678 mg) was treated with 25% HBr-AcOH at room temperature for 1 h and treated with diisopropyl ether for precipitating crystals, followed by neutralizing the precipitated crystals with 1 N aqueous NaOH and extraction with CH2Cl2 to give 472 mg 1-(7-chloronaphthalen-2-ylsulfonyl)-2-(5-aminomethyl-1,3,4-thiadiazol-2-yl)pyrrolidine. To a solution of the latter compound (164 mg) in 2 mL pyridine was added 143 mg nicotinoyl chloride hydrochloride and stirred at room temperature for 30 min to give 183 mg N-[5-[1-(7-chloronaphthalene-2-sulfonyl)pyrrolidin-2-yl]-1,3,4-thiadiazol-2-yl]methyl-3-pyridinecarboxamide (II). II at 10 nM in vitro exhibited the enhancement of the NGF-induced neurite outgrowth in PC12h cells equivalent to that of 100 nM FK-506.

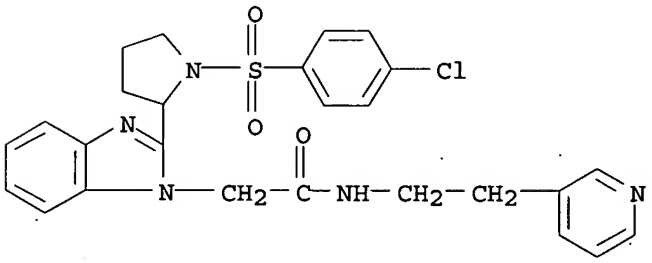
IT 359802-97-4P 359802-98-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-azolylpyrrolidine or -piperidine derivs. having neurite outgrowth activity for treatment and/or prevention of nerve injury or neurodegenerative diseases)

RN 359802-97-4 HCAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[1-[2-oxo-2-[[2-(3-pyridinyl)ethyl]amino]ethyl]-1H-benzimidazol-2-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



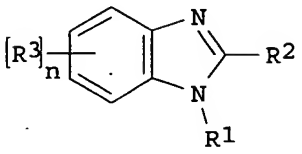
RN 359802-98-5 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-[1-[(4-chlorophenyl)sulfonyl]-2-pyrrolidinyl]-N-[2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 5 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:241749 HCAPLUS
DOCUMENT NUMBER: 134:266310
TITLE: Preparation of 2-aryl-benzimidazoles for treating neoplasia
INVENTOR(S): Sperl, Gerhard; Ixkes, Ulrich; Pamukcu, Rifat; Piazza, Gary A.
PATENT ASSIGNEE(S): Cell Pathways, Inc., USA
SOURCE: U.S., 12 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 6211177 | B1 | 20010403 | US 1998-200378 | 19981124 <-- |

PRIORITY APPLN. INFO.: US 1998-200378 19981124
OTHER SOURCE(S): MARPAT 134:266310
GI



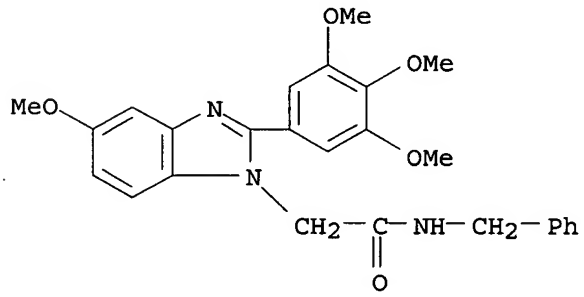
I

AB The title compds. [I; R1 = H, alkyl, (un)substituted CH2Ph, etc.; R2 = (un)substituted Ph, CH2Ph, pyridyl, etc.; R3 = halo, alkoxy, alkyl, etc.; n = 0-2], useful for inhibiting neoplasia, particularly cancerous and precancerous lesions (no data), were prepared Thus, reacting 1,2-phenylenediamine with 3,4,5-trimethoxybenzaldehyde in the presence of 2,3-dichloro-5,6-dicyano-1,4-benzoquinone in MeCN afforded 14% I [R1, R3 = H; R2 = 3,4,5-(MeO)3C6H2].

IT 332015-21-1P 332015-24-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-aryl-benzimidazoles for treating neoplasia)

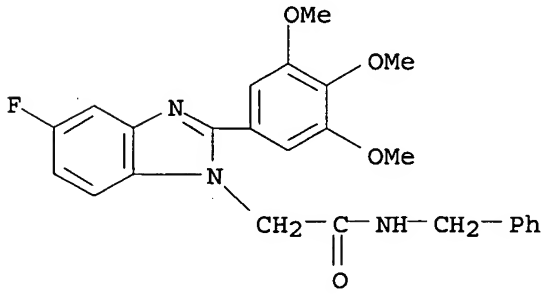
RN 332015-21-1 HCAPLUS

CN 1H-Benzimidazole-1-acetamide, 5-methoxy-N-(phenylmethyl)-2-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



RN 332015-24-4 HCAPLUS

CN 1H-Benzimidazole-1-acetamide, 5-fluoro-N-(phenylmethyl)-2-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN

10556229.trn

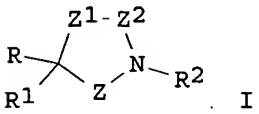
ACCESSION NUMBER: 2001:149048 HCAPLUS
DOCUMENT NUMBER: 134:193454
TITLE: Preparation of N-(2-benzimidazolyl)-1,4-diazepanes as histamine and tachykinin receptor antagonists
INVENTOR(S): Kane, John M.; Maynard, George D.; Burkholder, Timothy P.; Bratton, Larry D.; Dalton, Christopher R.; Kudlacz, Elizabeth M.; Santiago, Braulio
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Inc., USA
SOURCE: U.S., 108 pp., Cont.-in-part of U.S. Ser. No. 736,411. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 6194406 | B1 | 20010227 | US 1997-513847 | 19971029 <-- |
| US 2001034343 | A1 | 20011025 | US 2000-739741 | 20001218 <-- |
| US 6423704 | B2 | 20020723 | | |

PRIORITY APPLN. INFO.:

| | | |
|---|---|---|
| US 1995-70907P | P | 19951220 |
| US 1996-736411 | B2 | 19961024 |
| US 1997-513847 | A2 | 19971029 |

OTHER SOURCE(S): MARPAT 134:193454
GI

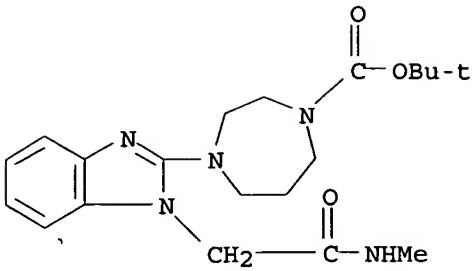


I

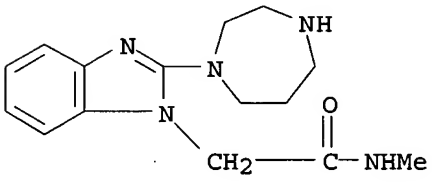
AB Title compds. [I; R = R5Z5Z4(CH2)m; R1 = (CH2)rR4; R2 = Z3(CH2)nR3; R3 = (un)substituted Ph, -1,3-benzodioxol-5-yl, -1,4-benodioxan-6-yl; R4 = (un)substituted Ph, -naphthyl, pyridinyl, -thienyl; R5 = H, (oxa)alkyl, (hetero)arylalkyl, etc.; Z,Z2 = CH2 or CO; Z1 = CH2 or CH2CH2; Z3 = CH2, CHMe, CO; Z4 = 1,4-diazepan-1,4-diyl; Z5 = (un)substituted benzimidazole-1,2-diyl; m = 2 or 3; n,r = 0 or 1] were prepared as histamine and tachykinin receptor antagonists (no data). Thus, e.g., I [R = 2-[4-[1-(2-ethoxyethyl)benzimidazol-2-yl][1,4]diazepan-1-yl]ethyl, R1 = 3,4-(MeO)2C6H3, R2 = COC6H2(OMe)3-3,4,5, Z = Z1 = Z2 = CH2] was prepared

IT 192941-18-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of benzimidazolyldiazepanes as antiallergics and antiinflammatories)

RN 192941-18-7 HCAPLUS
CN 1H-1,4-Diazepine-1-carboxylic acid, hexahydro-4-[1-[2-(methylamino)-2-oxoethyl]-1H-benzimidazol-2-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

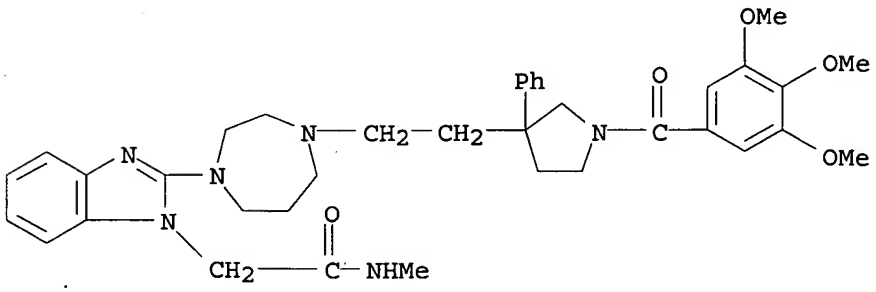


IT 192941-57-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(precursor; preparation of benzimidazolyldiazepanes as antiallergics and antiinflammatories)
RN 192941-57-4 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(hexahydro-1H-1,4-diazepin-1-yl)-N-methyl-, monohydriodide (9CI) (CA INDEX NAME)

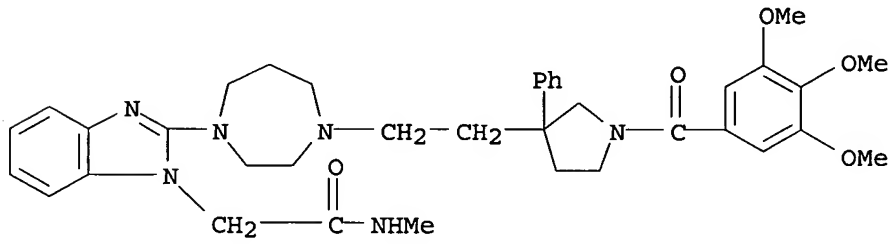


● HI

IT 192939-87-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of benzimidazolyldiazepanes as antiallergics and antiinflammatories)
RN 192939-87-0 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-[hexahydro-4-[2-[3-phenyl-1-(3,4,5-trimethoxybenzoyl)-3-pyrrolidinyl]ethyl]-1H-1,4-diazepin-1-yl]-N-methyl-(9CI) (CA INDEX NAME)



IT 327995-85-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazolyldiazepanes as antiallergics and antiinflammatories)
RN 327995-85-7 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-[hexahydro-4-[2-[3-phenyl-1-(3,4,5-trimethoxybenzoyl)-3-pyrrolidinyl]ethyl]-1H-1,4-diazepin-1-yl]-N-methyl-, hydrochloride (9CI) (CA INDEX NAME)




●x HCl

REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:115088 HCAPLUS
DOCUMENT NUMBER: 134:178141
TITLE: Preparation of oxoazacycloalkanes and analogs
INVENTOR(S): Hulme, Christopher; Morton, George C.; Salvino, Joseph M.; Labaudiniere, Richard F.; Mason, Helen J.; Morrissette, Mathew M.; Ma, Liang; Cherrier, Marie-Pierre
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Products, Inc., USA
SOURCE: PCT Int. Appl., 176 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001010799 | A1 | 20010215 | WO 2000-US21257 | 20000803 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6492553 | B1 | 20021210 | US 1999-368213 | 19990804 <-- |
| EP 1212269 | A1 | 20020612 | EP 2000-955355 | 20000803 <-- |

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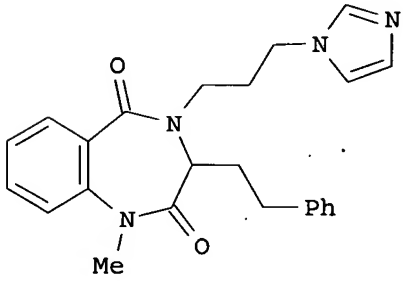
| EP 1212269 | B1 | 20041027 | | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | | |
| JP 2003506420 | T | 20030218 | JP 2001-515272 | | 20000803 <-- |
| AT 280744 | T | 20041115 | AT 2000-955355 | | 20000803 |
| ES 2230143 | T3 | 20050501 | ES 2000-955355 | | 20000803 |
| HK 1046897 | A1 | 20050415 | HK 2002-108269 | | 20021115 |
| PRIORITY APPLN. INFO.: | | | US 1999-368213 | A | 19990804 |
| | | | US 1998-73007P | P | 19980129 |
| | | | US 1998-98404P | P | 19980831 |
| | | | US 1998-98708P | P | 19980901 |
| | | | US 1998-101056P | P | 19980918 |
| | | | WO 1999-US1923 | A2 | 19990129 |
| | | | WO 2000-US21257 | W | 20000803 |

OTHER SOURCE(S): CASREACT 134:178141; MARPAT 134:178141

GI



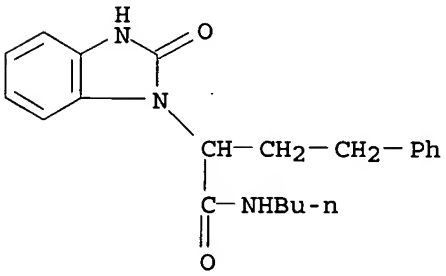
I

AB The title process comprises, e.g., Ugi condensation of N-protected anthranilic acids, amines, aldehydes, and an isocyanide followed by deprotection and cyclization. Thus, 2-(BocMeN)C6H4CO2H, imidazole-1-propanamine, PhCH2CH2CHO, and an isocyanide were combined to give title compound I.

IT 325954-15-2P 325954-16-3P 325954-17-4P
325954-22-1P 325954-24-3P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of oxoazacycloalkanes and analogs)

RN 325954-15-2 HCAPLUS

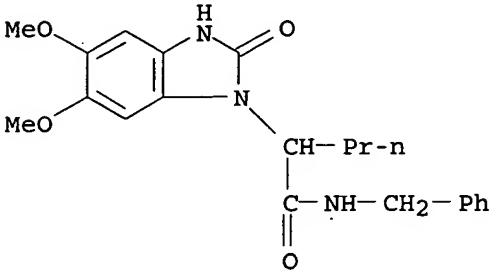
CN 1H-Benzimidazole-1-acetamide, N-butyl-2,3-dihydro-2-oxo-α-(2-phenylethyl)- (9CI) (CA INDEX NAME)



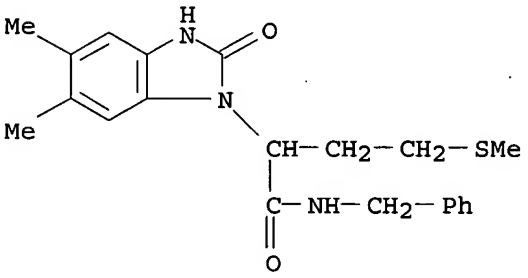
RN 325954-16-3 HCAPLUS

CN 1H-Benzimidazole-1-acetamide, 2,3-dihydro-5,6-dimethoxy-2-oxo-N-

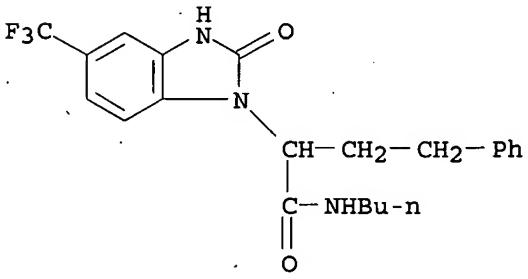
(phenylmethyl)-α-propyl- (9CI) (CA INDEX NAME)



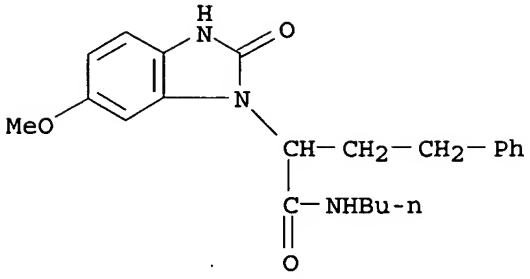
RN 325954-17-4 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, 2,3-dihydro-5,6-dimethyl-α-[2-(methylthio)ethyl]-2-oxo-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 325954-22-1 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-butyl-2,3-dihydro-2-oxo-α-(2-phenylethyl)-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 325954-24-3 HCAPLUS
CN 1H-Benzimidazole-1-acetamide, N-butyl-2,3-dihydro-6-methoxy-2-oxo-α-(2-phenylethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:819473 HCAPLUS
DOCUMENT NUMBER: 134:5159
TITLE: Preparation of tripeptoid analogs as serine protease inhibitors
INVENTOR(S): Gyorkos, Albert C.; Spruce, Lyle W.
PATENT ASSIGNEE(S): Cortech, Inc., USA
SOURCE: U.S., 107 pp., Cont-in-part of U. S. Ser. No. 761,190.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 18
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 6150334 | A | 20001121 | US 1997-985201 | 19971204 <-- |
| US 5618792 | A | 19970408 | US 1994-345820 | 19941121 <-- |
| US 5807829 | A | 19980915 | US 1996-761190 | 19961206 <-- |
| CA 2272548 | A1 | 19980611 | CA 1997-2272548 | 19971205 <-- |
| WO 9824806 | A2 | 19980611 | WO 1997-US21636 | 19971205 <-- |
| WO 9824806 | A3 | 19981015 | | |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW | | | | |
| RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9855894 | A | 19980629 | AU 1998-55894 | 19971205 <-- |
| AU 734615 | B2 | 20010621 | | |
| EP 954526 | A2 | 19991110 | EP 1997-952232 | 19971205 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| CN 1247542 | A | 20000315 | CN 1997-180392 | 19971205 <-- |
| TR 9901681 | T2 | 20000321 | TR 1999-1681 | 19971205 <-- |
| JP 2001507679 | T | 20010612 | JP 1998-525656 | 19971205 <-- |
| JP 3220169 | B2 | 20011022 | | |
| JP 2001192398 | A | 20010717 | JP 2000-197432 | 19971205 <-- |
| HU 200100669 | A2 | 20010828 | HU 2001-669 | 19971205 <-- |
| TR 200103270 | T2 | 20030321 | TR 2001-200103270 | 19971205 <-- |
| RU 2217436 | C2 | 20031127 | RU 1999-114606 | 19971205 <-- |
| US 6037325 | A | 20000314 | US 1998-69823 | 19980430 <-- |

| | | | | | |
|---|---|---|---|---|---|
| US 6001813 | A | 19991214 | US 1998-90046 | | 19980603 <-- |
| NO 9902734 | A | 19990802 | NO 1999-2734 | | 19990604 <-- |
| MX 9905240 | A | 20000531 | MX 1999-5240 | | 19990604 <-- |
| PRIORITY APPLN. INFO.: | | | US 1994-345820 | A2 | 19941121 |
| | | | US 1996-761190 | A2 | 19961206 |
| | | | US 1996-698575 | A1 | 19960815 |
| | | | US 1996-760916 | A | 19961206 |
| | | | US 1996-761313 | A | 19961206 |
| | | | US 1996-762381 | A | 19961206 |
| | | | US 1996-771317 | A | 19961206 |
| | | | US 1997-984881 | A | 19971204 |
| | | | US 1997-984884 | A | 19971204 |
| | | | US 1997-985056 | A | 19971204 |
| | | | US 1997-985201 | A | 19971204 |
| | | | US 1997-985298 | A | 19971204 |
| | | | JP 1998-525656 | A3 | 19971205 |
| | | | WO 1997-US21636 | W | 19971205 |

OTHER SOURCE(S): MARPAT 134:5159

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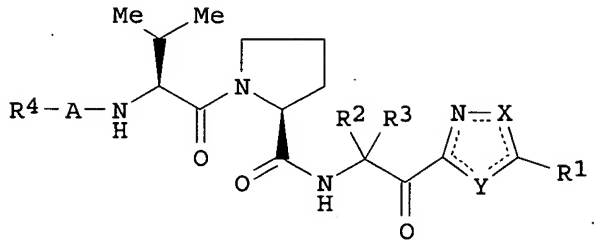

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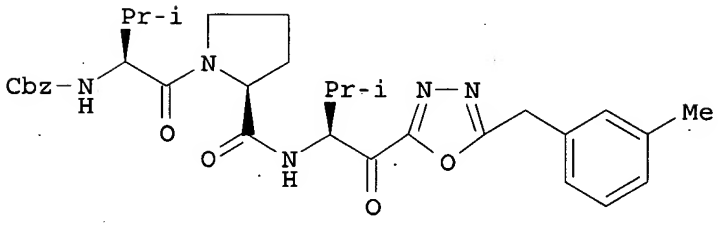


II

AB Tripeptides I [X, Y = O, N, or S, provided that at least one of X or Y = N; R1 = (un)substituted (C5-12)aryl, (C5-12)arylalkyl, (C5-12)arylalkenyl, fused (C5-12)aryl-cycloalkyl, alkyl- or alkenyl-fused (C5-12)aryl-cycloalkyl optionally comprising one or more heteroatoms selected from N, S, or non-peroxide O; R2, R3 = H or alkyl; A = CO, NHCO, SO2, O2C, or CH2; R4 = H, alkyl, alkenyl, cycloalkyl, aryl, or arylalkyl (with provisos)] were prepared as serine protease inhibitors, including inhibitors of human neutrophil elastase. Thus, peptide I (Cbz = benzyloxycarbonyl) (CE-2072) was prepared and showed Ki = 0.025 nM for inhibition of elastase.

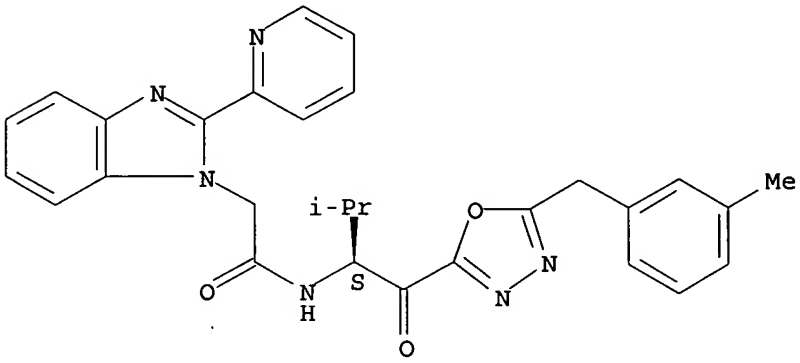
IT 208846-93-9P, CE 2234

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tripeptoid analogs as serine protease inhibitors)

RN 208846-93-9 HCAPLUS

CN 1H-Benzimidazole-1-acetamide, N-[(1S)-2-methyl-1-[[5-[(3-methylphenyl)methyl]-1,3,4-oxadiazol-2-yl]carbonyl]propyl]-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 9 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:366135 HCAPLUS
DOCUMENT NUMBER: 133:4595
TITLE: Preparation of N-pyrrolidinylmethylalkanoamides and analogs as CCR-3 receptor antagonists
INVENTOR(S): Rogers, Daniel Harry; Saunders, John; Williams, John Patrick
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: Ger. Offen., 50 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 19955794 | A1 | 20000531 | DE 1999-19955794 | 19991119 <-- |
| CA 2350903 | A1 | 20000602 | CA 1999-2350903 | 19991111 <-- |
| WO 2000031032 | A1 | 20000602 | WO 1999-EP8665 | 19991111 <-- |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| BR 9915520 | A | 20010717 | BR 1999-15520 | 19991111 <-- |
| EP 1131288 | A1 | 20010912 | EP 1999-972623 | 19991111 <-- |
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| | | | | | |
|---|---|---|---|---|---|
| IE, SI, LT, LV, FI, RO | | | | | |
| TR 200101398 | T2 | 20010921 | TR 2001-200101398 | | 19991111 <-- |
| HU 200104364 | A2 | 20020429 | HU 2001-4364 | | 19991111 <-- |
| JP 2002530374 | T | 20020917 | JP 2000-583860 | | 19991111 <-- |
| JP 3593037 | B2 | 20041124 | | | |
| AU 763960 | B2 | 20030807 | AU 2000-13825 | | 19991111 <-- |
| GB 2343893 | A | 20000524 | GB 1999-27227 | | 19991117 <-- |
| GB 2343893 | B | 20020109 | | | |
| FR 2786185 | A1 | 20000526 | FR 1999-14495 | | 19991118 <-- |
| US 6166015 | A | 20001226 | US 1999-442656 | | 19991118 <-- |
| IT 99TO1009 | A1 | 20010521 | IT 1999-TO1009 | | 19991119 <-- |
| IT 1307900 | B1 | 20011119 | | | |
| ES 2158814 | A1 | 20010901 | ES 1999-2547 | | 19991119 <-- |
| ES 2158814 | B1 | 20020316 | | | |
| ZA 2001003942 | A | 20020815 | ZA 2001-3942 | | 20010515 <-- |
| NO 2001002411 | A | 20010516 | NO 2001-2411 | | 20010516 <-- |
| IN 2001CN00693 | A | 20050304 | IN 2001-CN693 | | 20010518 |
| PRIORITY APPLN. INFO.: | | | US 1998-109297P | P | 19981120 |
| | | | WO 1999-EP8665 | W | 19991111 |

OTHER SOURCE(S): MARPAT 133:4595
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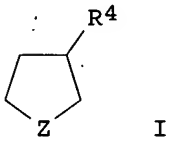



I

AB Title compds. [I; R4 = CHR1Z1Z2R2; R1 = H or alkyl; R2 = (hetero)aryl; Z = NZ3R3 or N+RZ3R3 X-; R = (un)substituted alkyl; R3 = (hetero)aryl; X- = pharmaceutically acceptable anion; Z1 = (un)substituted NHCO and Z2 = (heteroatom-interrupted)(oxo)alkylene, etc.; Z1 = (un)substituted NHCONH, -NHSO2, -NHCO2, etc. and Z2 = bond, (heteroatom-interrupted)(oxo)alkylene, alkenylene, alkynylene] were prepared Thus, I (R4 = CH2NHR5, Z = NCH2C6H3Cl2-2,3)(II; R5 = H) was amidated by 3-[4-(4-methoxyphenyl)-2-pyrimidinyl]propionic acid (preparation each given) to give II [R5 = COCH2CH2Z2C6H4(OMe)-4, Z2 = pyrimidine-2,5-diyl]. Data for biol. activity of I were given.

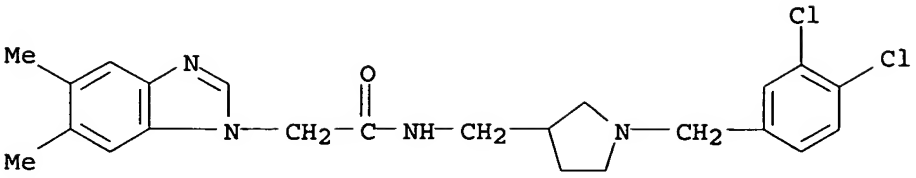
IT 270912-02-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-pyrrolidinylmethylalkanoamides and analogs as CCR-3 receptor antagonists)

RN 270912-02-2 HCAPLUS

CN 1H-Benzimidazole-1-acetamide, N-[[1-[(3,4-dichlorophenyl)methyl]-3-pyrrolidinyl]methyl]-5,6-dimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

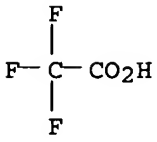
CM 1

CRN 270912-01-1
CMF C23 H26 Cl2 N4 O



CM 2

CRN 76-05-1
CMF C2 H F3 O2



L9 ANSWER 10 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:84617 HCAPLUS
DOCUMENT NUMBER: 132:122625
TITLE: Preparation of substituted benzimidazole antiviral agents
INVENTOR(S): Yu, Kuo-long; Civiello, Rita Lee; Krystal, Mark R.; Kadow, Kathleen F.; Meanwell, Nicholas A.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000004900 | A1 | 20000203 | WO 1999-US12398 | 19990720 <-- |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2338147 | A1 | 20000203 | CA 1999-2338147 | 19990720 <-- |
| AU 9950809 | A1 | 20000214 | AU 1999-50809 | 19990720 <-- |
| AU 741946 | B2 | 20011213 | | |
| EP 1098644 | A1 | 20010516 | EP 1999-935302 | 19990720 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002521334 | T | 20020716 | JP 2000-560893 | 19990720 <-- |
| US 2003139450 | A1 | 20030724 | US 2002-289829 | 20021107 <-- |
| US 6908936 | B2 | 20050621 | | |
| US 2005038085 | A1 | 20050217 | US 2004-934921 | 20040903 |

US 7030140 B2 20060418
PRIORITY APPLN. INFO.: US 1998-93387P P 19980720
US 1999-354958 B1 19990716
WO 1999-US12398 W 19990720
US 2002-289829 A3 20021107
OTHER SOURCE(S): MARPAT 132:122625
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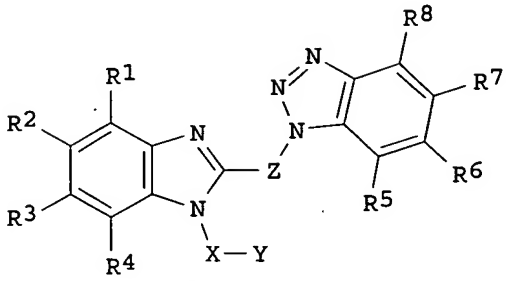

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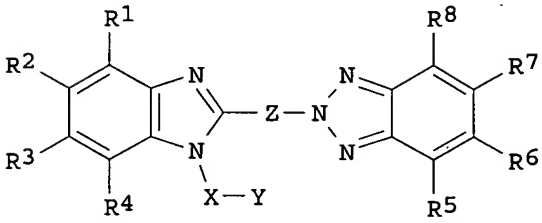


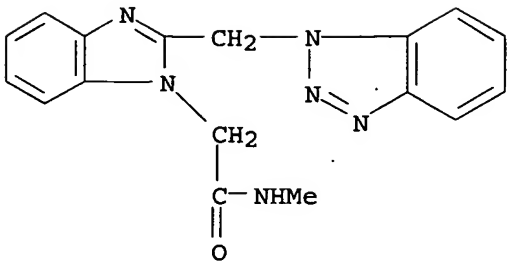
II

AB The title compds. [I and II; R1-R8 = H, alkyl, NO2, etc.; X = straight, branched or cyclic C2-12 alkyl, alkenyl, alkynyl; Y = (un)substituted Ph, dioxolane, pyridine, etc.; XY = CH2Ph, CH2COPh, CH2CHOHPh, etc.; Z = (CR12R13)n; n = 1-4; R12, R13 = H, straight, branched or cyclic alkyl], useful in the treatment of viral infections, particularly, for the treatment of respiratory syncytial virus infection, were prepared Thus, coupling 1-(1H-benzimidazol-2-ylmethyl)-1H-benzotriazole with 2-dimethylaminoethyl chloride hydrochloride in the presence of NaH in THF afforded 23% I [Z = CH2: XY = (CH2)2NMe2; R1-R8 = H] which showed 100% HEp-2 cell protection against RSV at 4 μg/mL.

IT 256365-87-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of substituted benzimidazole antiviral agents)

RN 256365-87-4 HCAPLUS

CN 1H-Benzimidazole-1-acetamide, 2-(1H-benzotriazol-1-ylmethyl)-N-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 11 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:672796 HCAPLUS
DOCUMENT NUMBER: 131:299286
TITLE: Preparation of amidine compounds as Xa inhibitors
INVENTOR(S): Katoh, Susumu; Yokota, Katsuyuki; Hayashi, Mikio
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 280 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9952895 | A1 | 19991021 | WO 1999-JP1900 | 19990409 <-- |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2327488 | A1 | 19991021 | CA 1999-2327488 | 19990409 <-- |
| AU 9931677 | A | 19991101 | AU 1999-31677 | 19990409 <-- |
| AU 752588 | B2 | 20020926 | | |
| SG 74717 | A1 | 20000822 | SG 1999-1654 | 19990409 <-- |
| EP 1070714 | A1 | 20010124 | EP 1999-913608 | 19990409 <-- |
| EP 1070714 | B1 | 20040804 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO | | | | |
| TR 200002904 | T2 | 20010221 | TR 2000-200002904 | 19990409 <-- |
| BR 9910122 | A | 20011016 | BR 1999-10122 | 19990409 <-- |
| HU 200101137 | A2 | 20011028 | HU 2001-1137 | 19990409 <-- |
| NZ 508101 | A | 20021220 | NZ 1999-508101 | 19990409 <-- |
| RU 2201927 | C2 | 20030410 | RU 2000-128036 | 19990409 <-- |
| AT 272630 | T | 20040815 | AT 1999-913608 | 19990409 |
| JP 2000136190 | A | 20000516 | JP 1999-103432 | 19990412 <-- |
| JP 3283485 | B2 | 20020520 | | |
| US 6562828 | B1 | 20030513 | US 2000-647847 | 20001006 <-- |
| NO 2000005083 | A | 20001208 | NO 2000-5083 | 20001009 <-- |
| ZA 2000006430 | A | 20010725 | ZA 2000-6430 | 20001108 <-- |
| IN 2000CN00627 | A | 20050304 | IN 2000-CN627 | 20001109 |
| US 2004006099 | A1 | 20040108 | US 2003-386458 | 20030313 |

PRIORITY APPLN. INFO.: JP 1998-116233 A 19980410
JP 1998-237869 A 19980825
WO 1999-JP1900 W 19990409
US 2000-647847 A3 20001006

OTHER SOURCE(S): MARPAT 131:299286
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

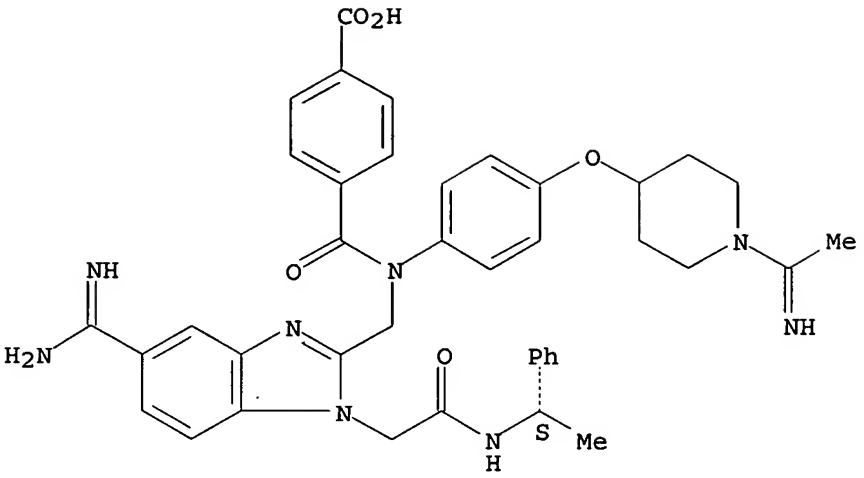
AB Title compds. R1R2NCR:NR3 [wherein R1, R2 and R3 are the same or different and each represents hydrogen, hydroxy, lower alkyl or aryl; and R represents formulas Q, Q1, and Q2; A = OCH2, OCH2CH2, SO2NH, ; R4 = H, Cl; R5 = H, CO2H, COOEt, COOMe; B = C6H5CH2SO2, CH2CH2OH, 4-pyridyl, 4-quinolinyl, 4-(2,6-dimethylpyridyl), 4-(2-methylpyridyl), 4-imidazolyl; G = 4-CH2N(4-COC6H4COOH)C6H4O, CH2O, CH2N(COCOOEt);F = (un)substituted aryl; n = 1, 2; D = arylcarbamoyl, OMe, H, C6H5CH2; etc.], stereoisomers, and salts thereof or prodrugs of the same are prepared and tested as factor Xa inhibitors and anticoagulants and usable in preventing and/or treating diseases caused by blood coagulation or thrombi. Thus, the title compound I was prepared

IT 247131-15-3P 247131-65-3P 247131-66-4P
247131-67-5P 247132-26-9P 247134-03-8P
247134-50-5P 247134-51-6P 247134-52-7P
247141-93-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidine compds. as Xa inhibitors)

RN 247131-15-3 HCAPLUS

CN Benzoic acid, 4-[[[[5-(aminoiminomethyl)-1-[2-oxo-2-[[(1S)-1-phenylethyl]amino]ethyl]-1H-benzimidazol-2-yl]methyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]carbonyl]-, dihydrochloride (9CI) (CA INDEX NAME)

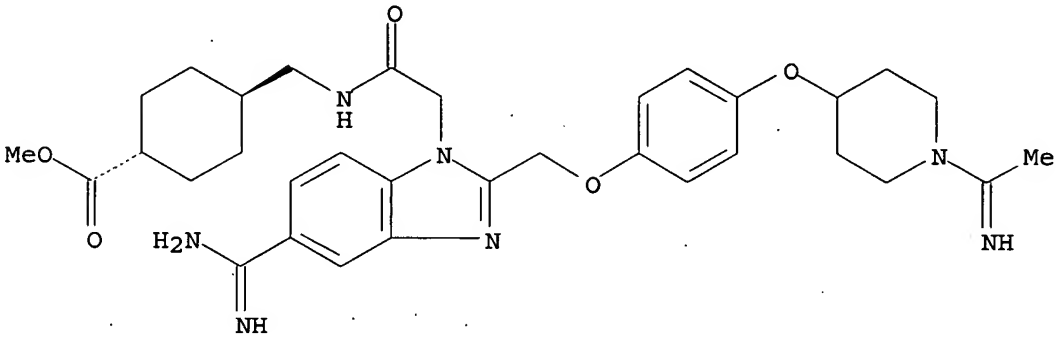
Absolute stereochemistry.



●2 HCl

RN 247131-65-3 HCAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[[5-(aminoiminomethyl)-2-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenoxy]methyl]-1H-benzimidazol-1-yl]acetyl]amino]methyl]-, methyl ester, dihydrochloride, trans- (9CI) (CA INDEX NAME)

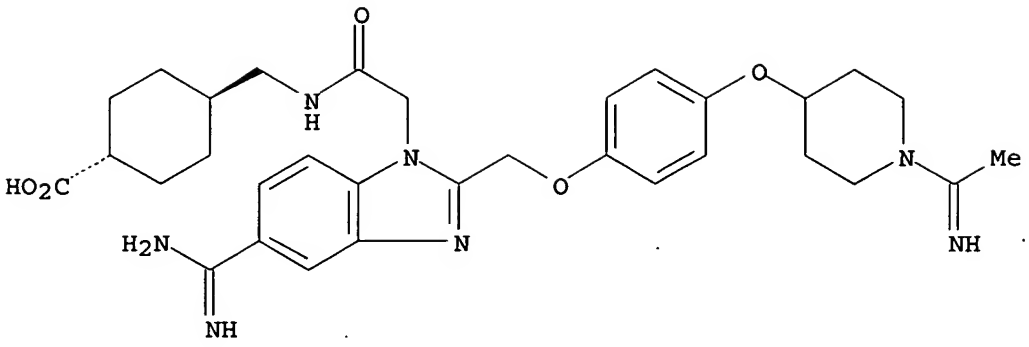
Relative stereochemistry.



●2 HCl

RN 247131-66-4 HCAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[[5-(aminoiminomethyl)-2-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenoxy]methyl]-1H-benzimidazol-1-yl]acetyl]amino]methyl]-, dihydrochloride, trans- (9CI) (CA INDEX NAME)

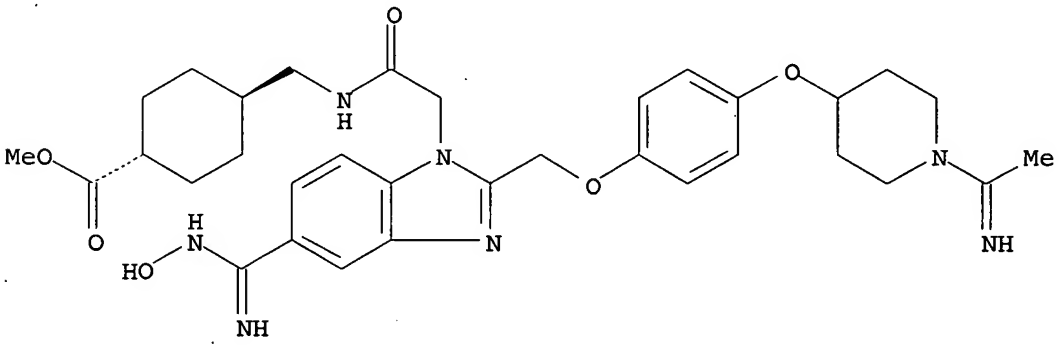
Relative stereochemistry.



●2 HCl

RN 247131-67-5 HCAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[[5-[(hydroxyamino)iminomethyl]-2-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenoxy]methyl]-1H-benzimidazol-1-yl]acetyl]amino]methyl]-, methyl ester, dihydrochloride, trans- (9CI) (CA INDEX NAME)

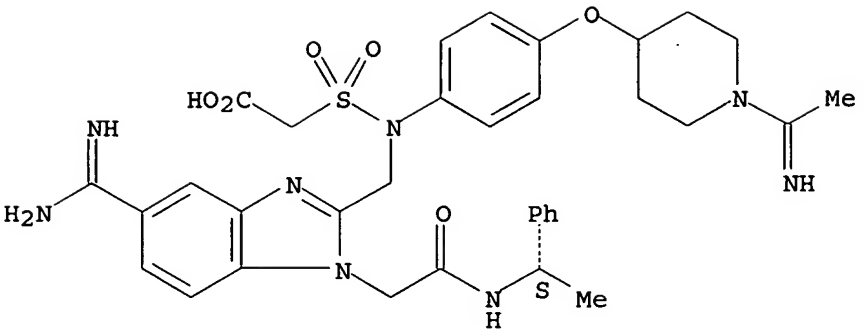
Relative stereochemistry.



●2 HCl

RN 247132-26-9 HCAPLUS
CN Acetic acid, [[[[5-(aminoiminomethyl)-1-[2-oxo-2-[[(1S)-1-phenylethyl]amino]ethyl]-1H-benzimidazol-2-yl]methyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, dihydrochloride (9CI) (CA INDEX NAME)

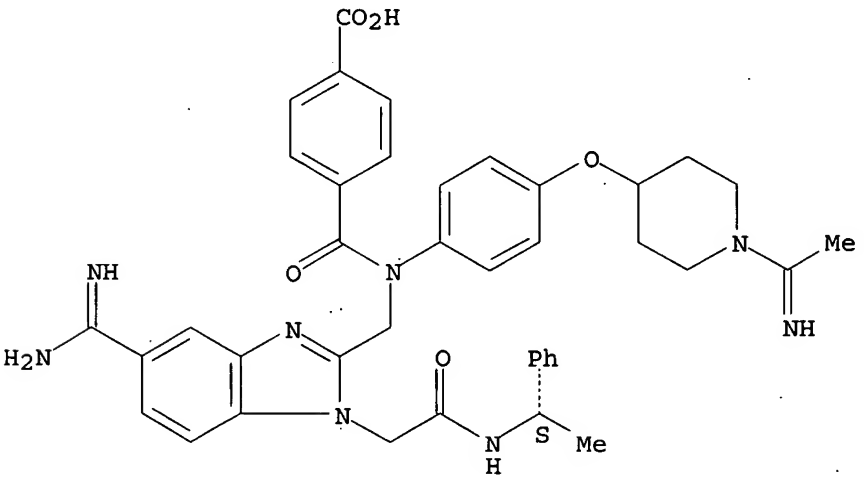
Absolute stereochemistry.



●2 HCl

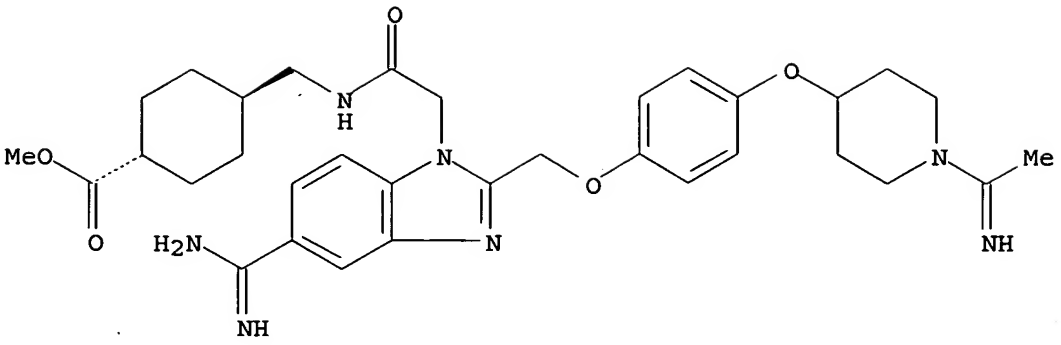
RN 247134-03-8 HCAPLUS
CN Benzoic acid, 4-[[[[5-(aminoiminomethyl)-1-[2-oxo-2-[[(1S)-1-phenylethyl]amino]ethyl]-1H-benzimidazol-2-yl]methyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



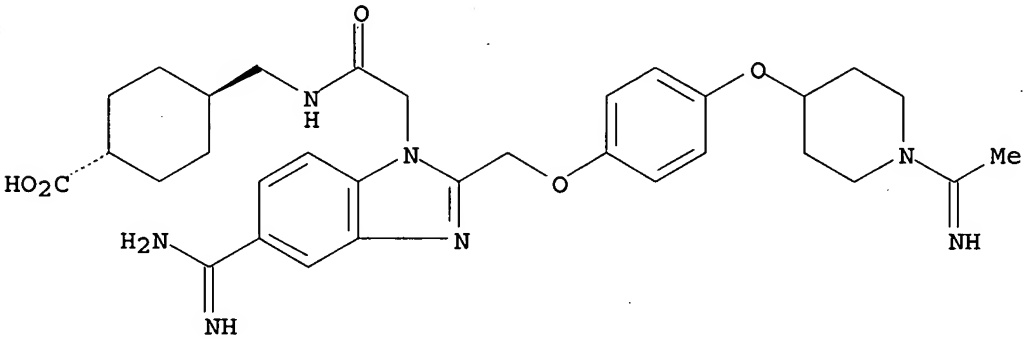
RN 247134-50-5 HCAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[[5-(aminoiminomethyl)-2-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenoxy]methyl]-1H-benzimidazol-1-yl]acetyl]amino]methyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



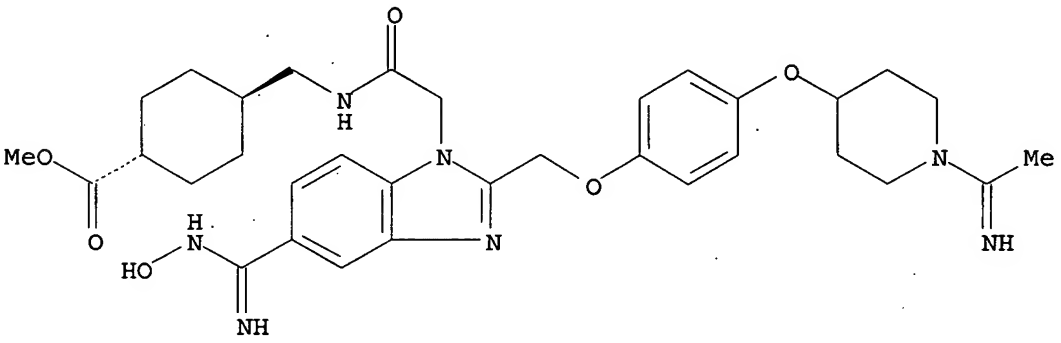
RN 247134-51-6 HCAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[[5-(aminoiminomethyl)-2-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenoxy]methyl]-1H-benzimidazol-1-yl]acetyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



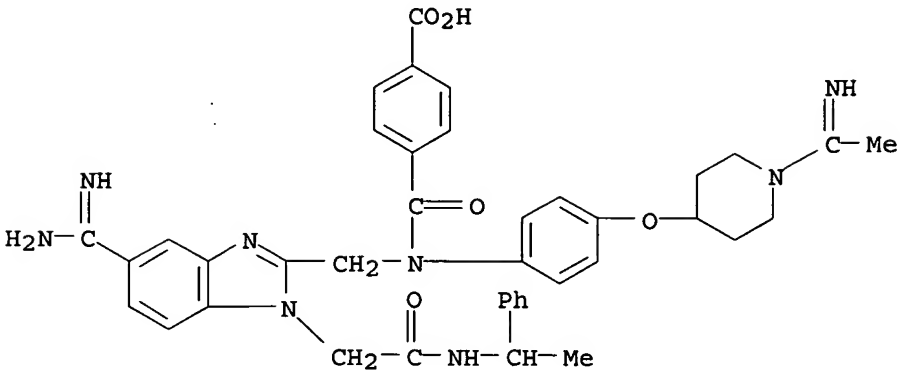
RN 247134-52-7 HCAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[[5-[(hydroxyamino)iminomethyl]-2-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenoxy]methyl]-1H-benzimidazol-1-yl]acetyl]amino]methyl]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 247141-93-1 HCAPLUS
CN Benzoic acid, 4-[[[[5-(aminoiminomethyl)-1-[2-oxo-2-[(1-phenylethyl)amino]ethyl]-1H-benzimidazol-2-yl]methyl][4-[[1-(1-iminoethyl)-

4-piperidinyl]oxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

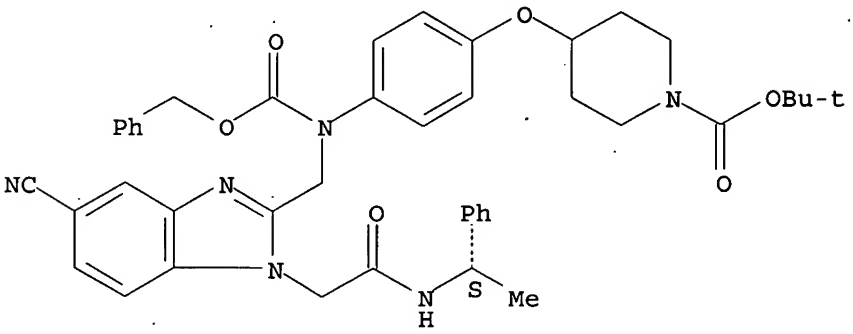


IT 247132-62-3P 247132-63-4P 247132-64-5P
247133-58-0P 247133-59-1P 247133-60-4P
247133-61-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amidine compds. as Xa inhibitors)

RN 247132-62-3 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[4-[[[5-cyano-1-[2-oxo-2-[[(1S)-1-phenylethyl]amino]ethyl]-1H-benzimidazol-2-yl]methyl][(phenylmethoxy)carbonyl]amino]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

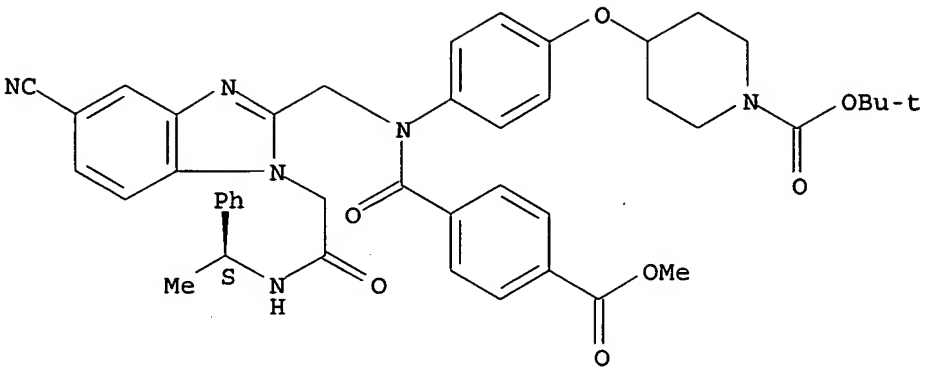
Absolute stereochemistry.



RN 247132-63-4 HCAPLUS

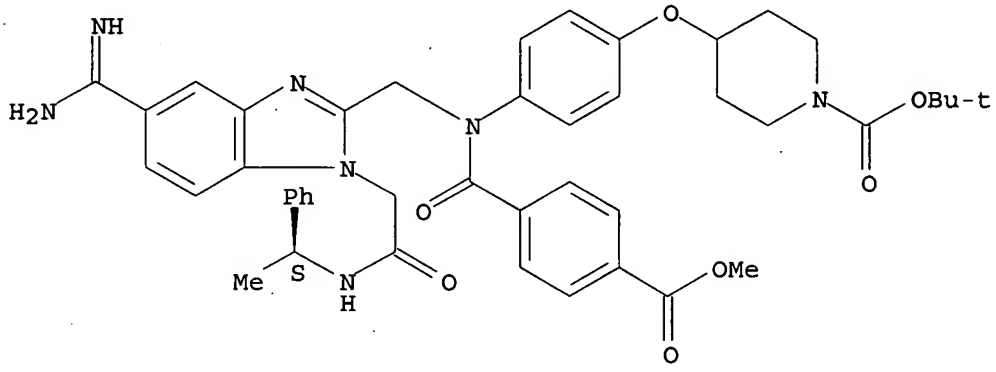
CN 1-Piperidinecarboxylic acid, 4-[4-[[[5-cyano-1-[2-oxo-2-[[(1S)-1-phenylethyl]amino]ethyl]-1H-benzimidazol-2-yl]methyl][4-(methoxycarbonyl)benzoyl]amino]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 247132-64-5 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[[[5-(aminoiminomethyl)-1-[2-oxo-2-[[(1S)-1-phenylethyl]amino]ethyl]-1H-benzimidazol-2-yl]methyl][4-(methoxycarbonyl)benzoyl]amino]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

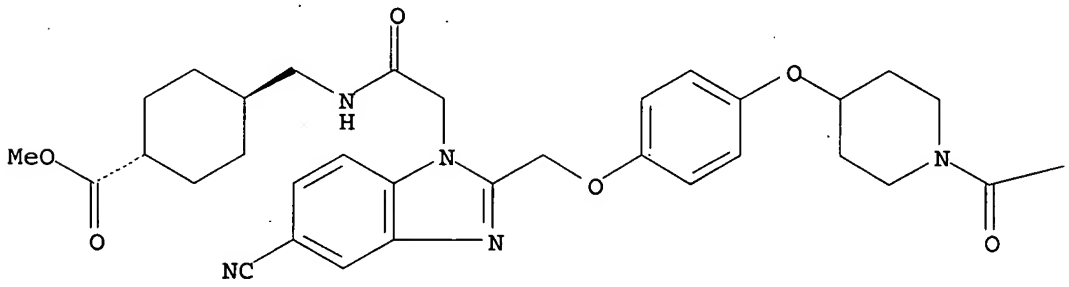
Absolute stereochemistry.



RN 247133-58-0 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[[5-cyano-1-[2-[[[trans-4-(methoxycarbonyl)cyclohexyl]methyl]amino]-2-oxoethyl]-1H-benzimidazol-2-yl]methoxy]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



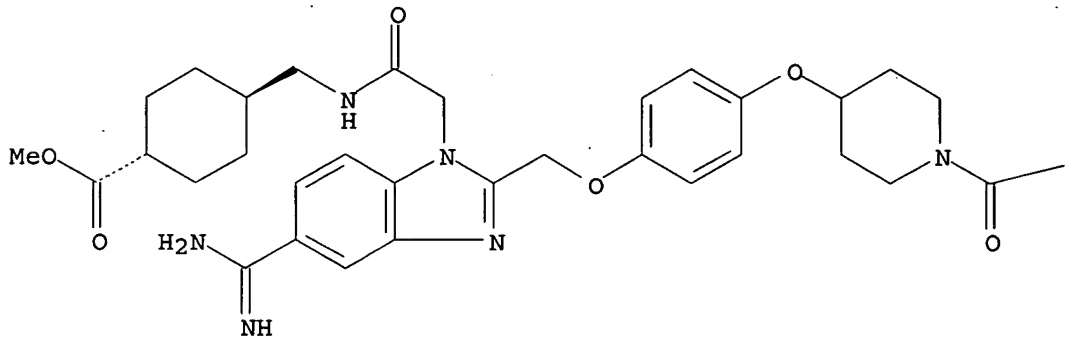
PAGE 1-B

—OBu-t

RN 247133-59-1 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[[5-(aminoiminomethyl)-1-[2-[[[trans-4-(methoxycarbonyl)cyclohexyl]methyl]amino]-2-oxoethyl]-1H-benzimidazol-2-yl]methoxy]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



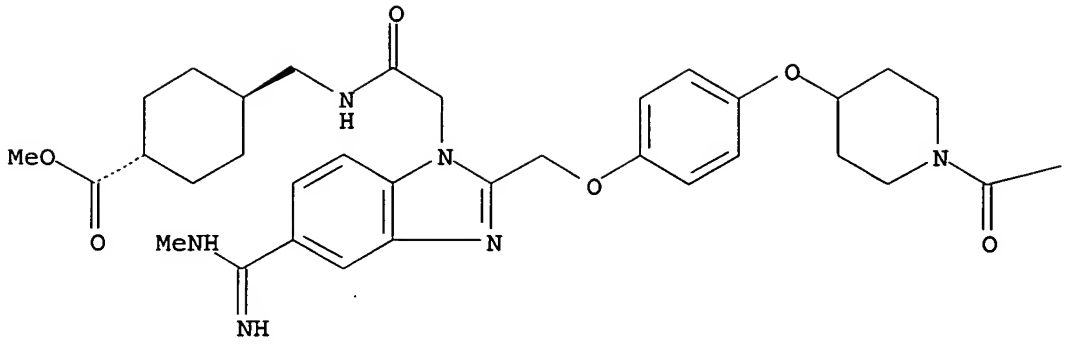

PAGE 1-B

—OBu-t

RN 247133-60-4 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[[5-[imino(methylamino)methyl]-1-[2-[[[trans-4-(methoxycarbonyl)cyclohexyl]methyl]amino]-2-oxoethyl]-1H-benzimidazol-2-yl]methoxy]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



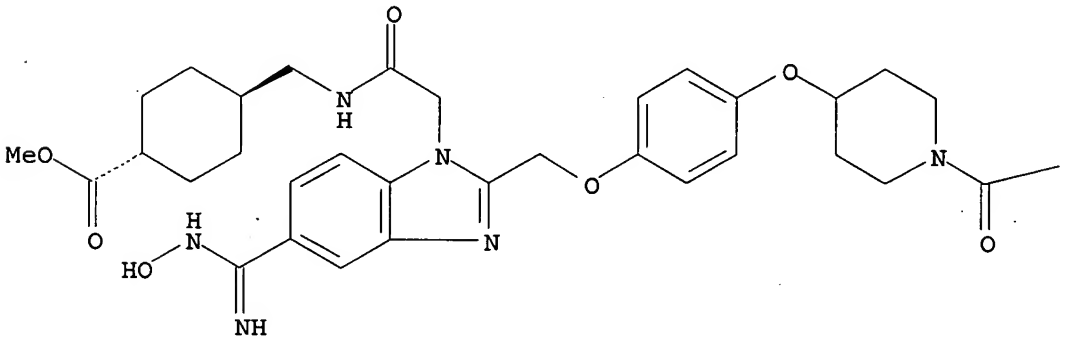
PAGE 1-B

—OBu-t

RN 247133-61-5 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[[5-[(hydroxyamino)iminomethyl]-1-[2-[[[trans-4-(methoxycarbonyl)cyclohexyl]methyl]amino]-2-oxoethyl]-1H-benzimidazol-2-yl]methoxy]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



PAGE 1-B

—OBu-t

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 12 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:617950 HCAPLUS
DOCUMENT NUMBER: 131:258916
TITLE: Method for production of coloring substances and new compounds
INVENTOR(S): Kobayashi, Suguru; Kato, Takashi
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 33 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 11263918 | A | 19990928 | JP 1998-65897 | 19980316 <-- |
| PRIORITY APPLN. INFO.: | | | JP 1998-65897 | 19980316 |

OTHER SOURCE(S): MARPAT 131:258916
GI

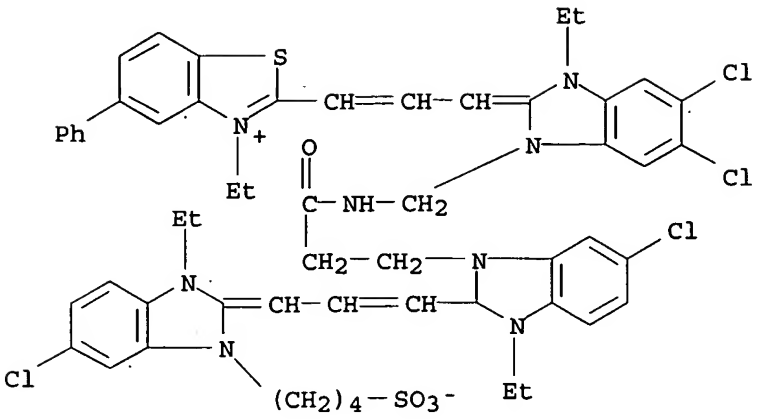
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The substances useful as photog. sensitizing dyes, are obtained by linking a dehydrocondensable group-containing colorant with a counter colorant compound in the presence of P compound such as R1R2PO(OR3) (R1 = aryl; R2 = aryl or living aryloxy group; R3 = aryloxy living group together with the bonding O) in a solvent. Thus, adding a solution of 11 mg KOH in 1 mL water to 100 mg compound I, adding 20 mL DMSO, mixing with 53 mg tetrabutylammonium bromide for 1 h, combining with a solution of 115 mg compound II and C6H5P(O)(C6H4NO2-4)2 in 10 mL DMSO and 100 mg mol. sieve 4A, mixing at room temperature for 20 h and working up gave compound III.

IT 226919-23-9P 244793-36-0P
RL: IMF (Industrial manufacture); MOA (Modifier or additive use); PREP (Preparation); USES (Uses)
(photog. sensitizers; dehydrocondensation reaction in manufacture of bimol. linked dyes for photog. sensitizers)

RN 226919-23-9 HCAPLUS
CN Benzothiazolium, 2-[3-[5,6-dichloro-1-[2-[[3-[6-chloro-2-[3-[5-chloro-1-ethyl-1,3-dihydro-3-(4-sulfobutyl)-2H-benzimidazol-2-ylidene]-1-propenyl]-3-ethyl-1H-benzimidazolium-1-yl]-1-oxopropyl]amino]ethyl]-3-ethyl-1,3-dihydro-2H-benzimidazol-2-ylidene]-1-propenyl]-3-ethyl-5-phenyl-, inner salt, bromide (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 244793-36-0 HCAPLUS
CN Benzothiazolium, 2-[3-[5,6-dichloro-1-[[[3-[6-chloro-2-[3-[5-chloro-1-ethyl-1,3-dihydro-3-(4-sulfobutyl)-2H-benzimidazol-2-ylidene]-1-propenyl]-3-ethyl-1H-benzimidazolium-1-yl]-1-oxopropyl]amino]methyl]-3-ethyl-1,3-dihydro-2H-benzimidazol-2-ylidene]-1-propenyl]-3-ethyl-5-phenyl-, bromide (9CI) (CA INDEX NAME)



● $Br^-$

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L9 ANSWER 13 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:511140 HCAPLUS
DOCUMENT NUMBER: 131:157771
TITLE: Preparation of five-membered, benzo-condensed heterocycles as antithrombotics
INVENTOR(S): Ries, Uwe; Hauel, Norbert; Mihm, Gerhard; Priepke, Henning; Binder, Klaus; Stassen, Jean Marie; Wienen, Wolfgang; Zimmermann, Rainer
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma Kg, Germany
SOURCE: PCT Int. Appl., 250 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9940072 | A1 | 19990812 | WO 1999-EP537 | 19990128 <-- |
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| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 19804085 | A1 | 19990805 | DE 1998-19804085 | 19980203 <-- |
| DE 19834325 | A1 | 20000217 | DE 1998-19834325 | 19980730 <-- |
| CA 2319494 | A1 | 19990812 | CA 1999-2319494 | 19990128 <-- |
| AU 9927201 | A | 19990823 | AU 1999-27201 | 19990128 <-- |
| EP 1060166 | A1 | 20001220 | EP 1999-907437 | 19990128 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002502844 | T | 20020129 | JP 2000-530502 | 19990128 <-- |

PRIORITY APPLN. INFO.: DE 1998-19804085 A 19980203

DE 1998-19834325 A 19980730
WO 1999-EP537 W 19990128

OTHER SOURCE(S): MARPAT 131:157771

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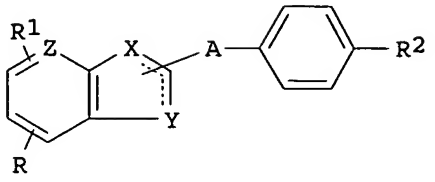

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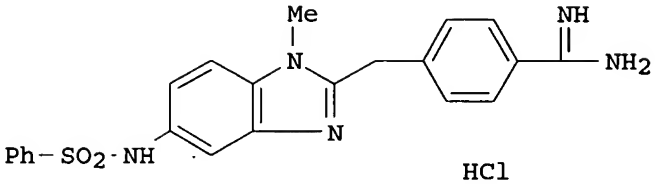


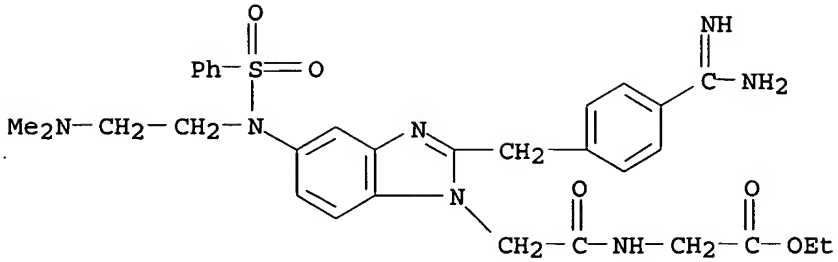
HCl II

AB Title compds. [I; R = 5-C6H5SO2NH, 6-C6H5SO2NH, 5-C6H5NHSO2, 5-C6H5SO2N(CH2COOEt), 5-C6H5SO2N(CH3), 5-C6H5N(CH2CH2CH2COOEt)CO, 5-C6H5, CH3N(C6H5)CO, 8; R1 = H, 7-CH3, 3-Br, 3-EtO; R2 = C(:NH)NH2; A = CH2, NH; X = CH, MeN, EtOCOCH2CH2N, O, S, NCH2CO2H; Y = N, CH, CH:CH; Z = CH, N; dotted bond = single, double in relation to X; A is attached at 2,or 8 position depending on the heterocyclic ring] and their tautomers, stereoisomers, mixts. and their physiol. compatible salts with inorg. or organic acids or bases are prepared and title compds in which R2 is a cyano group, present valuable intermediate products for the production of the remaining compds. of the general formula I, with R2 is amidino, which have valuable pharmacol. properties, especially an antithrombotic activity. Thus, the title compound II was prepared

IT 236415-43-3P 236415-45-5P 236415-78-4P
236415-85-3P 236415-88-6P 236415-94-4P
236416-01-6P 236416-02-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of five-membered benzo-condensed heterocycles as antithrombotics)

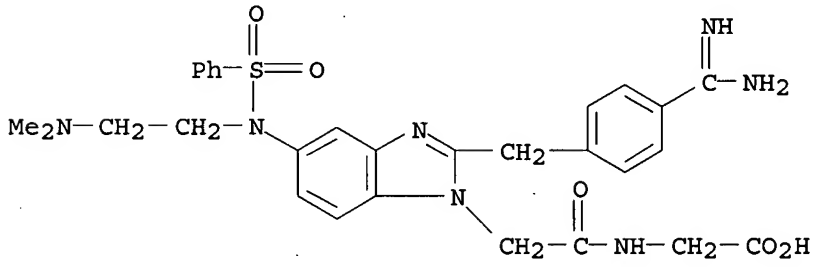
RN 236415-43-3 HCAPLUS

CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



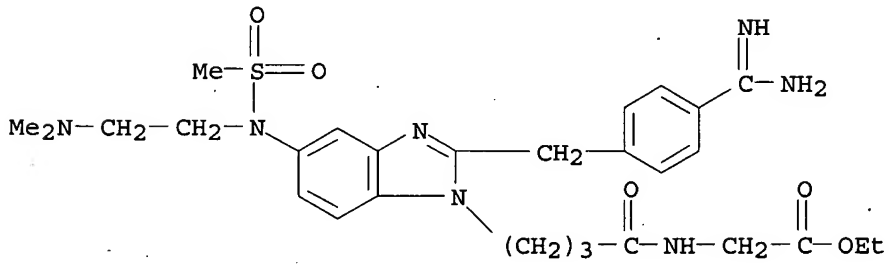
● 2 HCl

RN 236415-45-5 HCAPLUS
CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, dihydrochloride (9CI) (CA INDEX NAME)



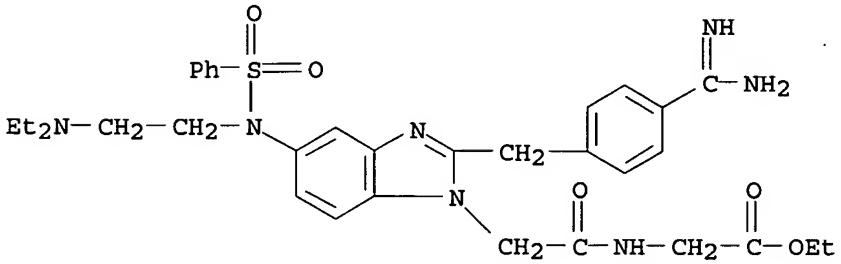
● 2 HCl

RN 236415-78-4 HCAPLUS
CN Glycine, N-[4-[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl](methylsulfonyl)amino]-1H-benzimidazol-1-yl]-1-oxobutyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



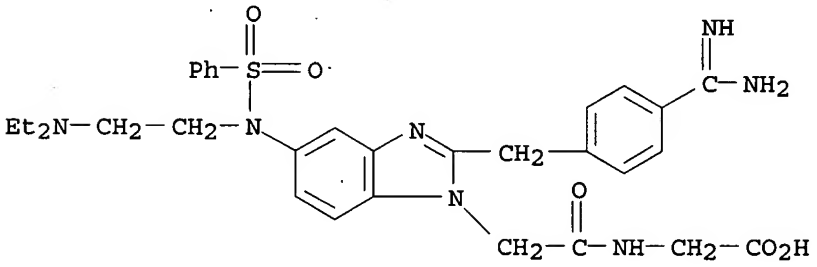
● 2 HCl

RN 236415-85-3 HCAPLUS
CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



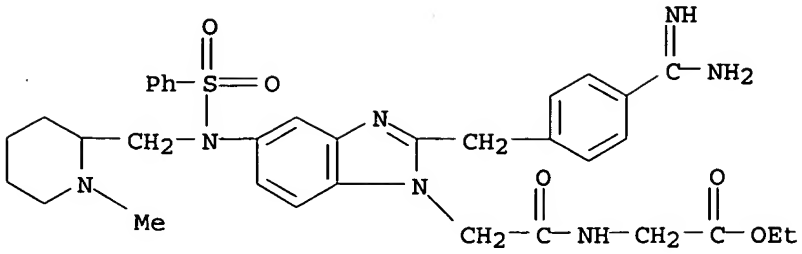
●2 HCl

RN 236415-88-6 HCAPLUS
CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, dihydrochloride (9CI) (CA INDEX NAME)



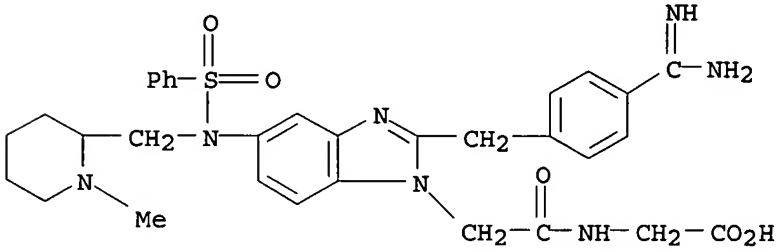
●2 HCl

RN 236415-94-4 HCAPLUS
CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[(1-methyl-2-piperidinyl)methyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



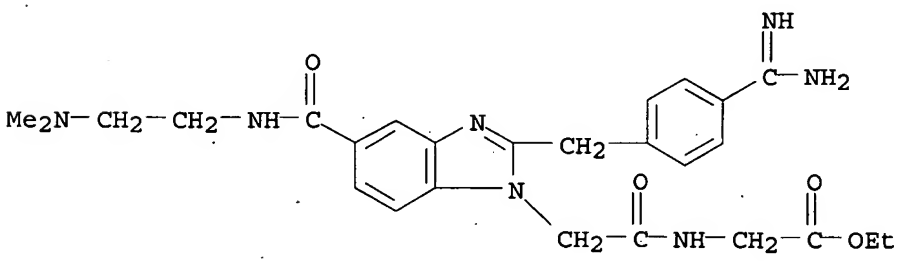
●2 HCl

RN 236416-01-6 HCAPLUS
CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[(1-methyl-2-piperidinyl)methyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 236416-02-7 HCAPLUS
CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[[2-(dimethylamino)ethyl]amino]carbonyl]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

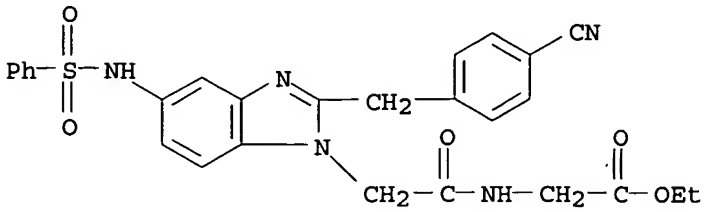


●2 HCl

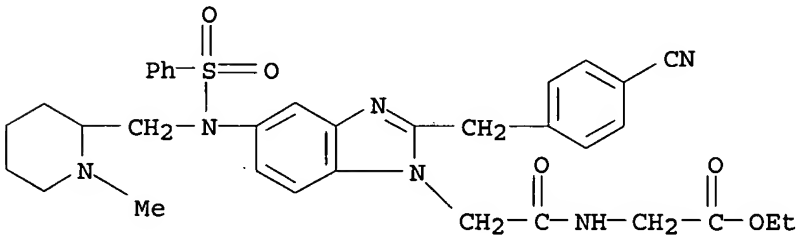
IT 236417-38-2P 236417-39-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation of five-membered benzo-condensed heterocycles as antithrombotics)

RN 236417-38-2 HCAPLUS
CN Glycine, N-[[2-[(4-cyanophenyl)methyl]-5-[(phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 236417-39-3 HCAPLUS
CN Glycine, N-[[2-[(4-cyanophenyl)methyl]-5-[[(1-methyl-2-piperidinyl)methyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 14 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:394350 HCAPLUS
DOCUMENT NUMBER: 129:68032
TITLE: Preparation of oxadiazole peptide analogs as serine protease inhibitors
INVENTOR(S): Gyorkos, Albert; Spruce, Lyle W.
PATENT ASSIGNEE(S): Cortech, Inc., USA; Gyorkos, Albert; Spruce, Lyle W.
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 18
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9824806 | A2 | 19980611 | WO 1997-US21636 | 19971205 <-- |
| WO 9824806 | A3 | 19981015 | | |

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW

RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

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| US 5801148 | A | 19980901 | US 1996-771317 | | 19961206 <-- |
| US 5807829 | A | 19980915 | US 1996-761190 | | 19961206 <-- |
| US 5861380 | A | 19990119 | US 1996-760916 | | 19961206 <-- |
| US 5869455 | A | 19990209 | US 1996-761313 | | 19961206 <-- |
| US 5891852 | A | 19990406 | US 1996-762381 | | 19961206 <-- |
| US 5998379 | A | 19991207 | US 1997-985056 | | 19971204 <-- |
| US 6001811 | A | 19991214 | US 1997-984884 | | 19971204 <-- |
| US 6015791 | A | 20000118 | US 1997-984881 | | 19971204 <-- |
| US 6150334 | A | 20001121 | US 1997-985201 | | 19971204 <-- |
| CA 2272548 | A1 | 19980611 | CA 1997-2272548 | | 19971205 <-- |
| AU 9855894 | A | 19980629 | AU 1998-55894 | | 19971205 <-- |
| AU 734615 | B2 | 20010621 | | | |
| EP 954526 | A2 | 19991110 | EP 1997-952232 | | 19971205 <-- |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

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| BR 9713684 | A | 20000328 | BR 1997-13684 | | 19971205 <-- |
| JP 2001507679 | T | 20010612 | JP 1998-525656 | | 19971205 <-- |
| JP 3220169 | B2 | 20011022 | | | |
| HU 200100669 | A2 | 20010828 | HU 2001-669 | | 19971205 <-- |
| RU 2217436 | C2 | 20031127 | RU 1999-114606 | | 19971205 <-- |
| NO 9902734 | A | 19990802 | NO 1999-2734 | | 19990604 <-- |
| MX 9905240 | A | 20000531 | MX 1999-5240 | | 19990604 <-- |
| US 2003060418 | A1 | 20030327 | US 2001-928117 | | 20010810 <-- |
| US 6656910 | B2 | 20031202 | | | |

PRIORITY APPLN. INFO.:

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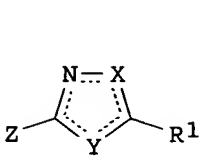
| US 1996-760916 | A | 19961206 |
| US 1996-761190 | A | 19961206 |
| US 1996-761313 | A | 19961206 |
| US 1996-762381 | A | 19961206 |
| US 1996-771317 | A | 19961206 |
| US 1997-984881 | A | 19971204 |
| US 1997-984884 | A | 19971204 |
| US 1997-985056 | A | 19971204 |
| US 1997-985201 | A | 19971204 |
| US 1997-985298 | A | 19971204 |
| US 1994-345820 | A2 | 19941121 |
| WO 1997-US21636 | W | 19971205 |

OTHER SOURCE(S): MARPAT 129:68032

GI



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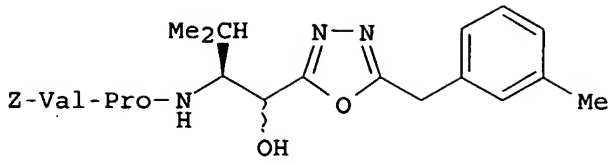
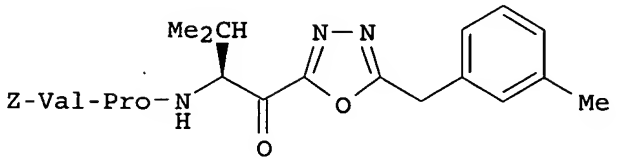


II



III

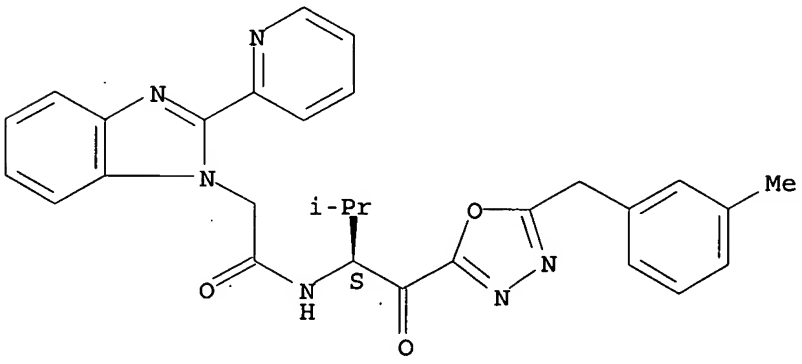
AB The present invention relates to certain substituted oxadiazole, thiadiazole and triazole peptide analogs I [X, Y = independently O, S, (un)substituted N; Z = serine protease binding moiety, preferably a human neutrophil elastase binding moiety; R1 = (un)substituted alkyl, alkenyl, alkynyl; OH, amino, alkylamino, dialkylamino, cycloalkyl, alkylcycloalkyl, alkenylcycloalkyl, cycloalkenyl, alkylcycloalkenyl, alkenylcycloalkenyl, C5-12 aryl, C5-12 arylalkyl, C5-12 arylalkenyl, fused C5-12 arylcycloalkyl, alkyl fused C5-12 arylcycloalkyl] which are useful as inhibitors of serine proteases. Thus, Swern oxidation of reduced pseudopeptide II (Z = PhCH2O2C), prepared in 8 steps from 3S-(benzyloxycarbonylamino)-2-acetoxy-4-methylpentanenitrile, 3-methylphenylacetic hydrazide, and Z-Val-Pro-OH, gave 74% desired oxadiazole III. III inhibited human neutrophil elastase with IC50 = 0.025 nM in an in vitro assay.

IT 208846-93-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxadiazole peptide analogs as serine protease and human neutrophil elastase inhibitors)

RN 208846-93-9 HCAPLUS

CN 1H-Benzimidazole-1-acetamide, N-[(1S)-2-methyl-1-[[5-[(3-methylphenyl)methyl]-1,3,4-oxadiazol-2-yl]carbonyl]propyl]-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 15 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:579714 HCAPLUS
DOCUMENT NUMBER: 127:248130
TITLE: Preparation of N-[4-(4-benzimidazolyl)hexahydrodiazepino-2-arylbutyl]benzamides as histamine and tachykinin receptor antagonists
INVENTOR(S): Maynard, George D.; Kane, John M.; Kudlacz, Elizabeth M.; Dalton, Christopher R.; Santiago, Braulio; Bratton, Larry D.
PATENT ASSIGNEE(S): Hoechst Marion Roussel, Inc., USA
SOURCE: PCT Int. Appl., 176 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9730991 | A1 | 19970828 | WO 1997-US1601 | 19970129 <-- |
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| CA 2246994 | A1 | 19970828 | CA 1997-2246994 | 19970129 <-- |
| CA 2246994 | C | 20020430 | | |
| AU 9722531 | A | 19970910 | AU 1997-22531 | 19970129 <-- |
| AU 708738 | B2 | 19990812 | | |
| EP 888338 | A1 | 19990107 | EP 1997-905700 | 19970129 <-- |
| EP 888338 | B1 | 20041117 | | |
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| BR 9710712 | A | 19990817 | BR 1997-10712 | 19970129 <-- |
| JP 2000505083 | T | 20000425 | JP 1997-530170 | 19970129 <-- |
| AT 282609 | T | 20041215 | AT 1997-905700 | 19970129 |
| ZA 9701321 | A | 19970826 | ZA 1997-1321 | 19970217 <-- |
| TW 440565 | B | 20010616 | TW 1997-86101884 | 19970218 <-- |
| NO 9803829 | A | 19981020 | NO 1998-3829 | 19980820 <-- |
| PRIORITY APPLN. INFO.: | | | US 1996-604590 A | 19960221 |
| | | | US 1997-781997 A | 19970106 |
| | | | WO 1997-US1601 W | 19970129 |

OTHER SOURCE(S): MARPAT 127:248130
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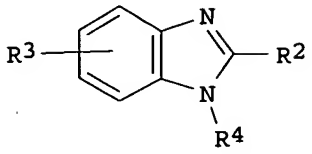

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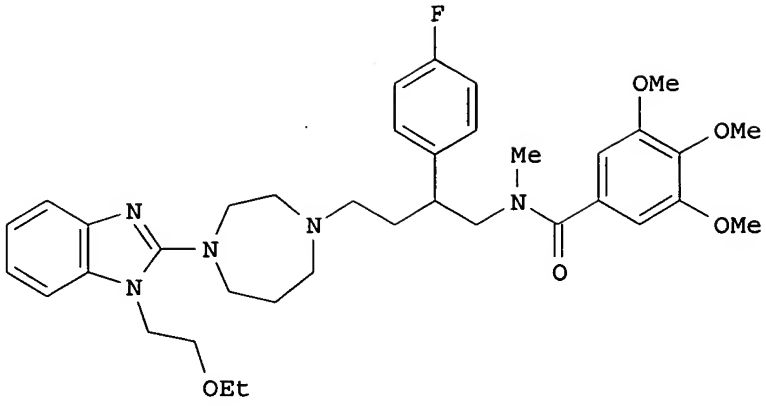


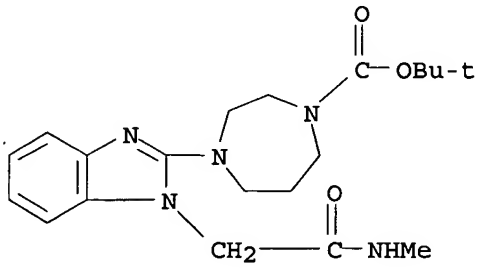
II

AB Title compds. [I; R2 = Z1CH2CHR1CH2NMeCOZ2R; R = H, 1,2,4-triazol-4-yl or (5-substituted) 1-tetrazolyl; R1 = (un)substituted Ph, -naphthyl, -pyridyl, -thienyl; R3 = H or 1-3 of halo, alkyl, alkoxy; R4 = H, alkyl, (CH2)pR5, alkoxyalkyl, etc.; R5 = 2-furyl, 2-pyridyl, etc.; Z1 = hexahydro-1,4-diazepine-1,4-diyl; Z2 = (un)substituted phenylene; p = 1 or 2] were prepared as histamine and tachykinin receptor antagonists (no data). Thus, 4-[1-(2-ethoxyethyl)-2-benzimidazolyl]hexahydro-1,4-diazepine was N-alkylated by MeO2SOCH2CH2CHR1CH2NMeCOR (R = 3,4,5-trimethoxyphenyl, R1 = C6H4F-4)(preparation each given) to give title compound II.

IT 192941-18-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-[4-(4-benzimidazolyl)hexahydrodiazepino-2-arylbutyl]benzamides as histamine and tachykinin receptor antagonists)

RN 192941-18-7 HCAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, hexahydro-4-[1-[2-(methylamino)-2-oxoethyl]-1H-benzimidazol-2-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



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| FULL ESTIMATED COST | 102.45 | 274.76 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | -11.70 | -11.70 |

STN INTERNATIONAL LOGOFF AT 13:45:59 ON 17 JAN 2007